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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: ~~SABITA~~ STIC Gard Examiner #: 74141 Date: 3/7/06

Art Unit: 1616 Phone Number: 2-0622 Serial Number: 09/509,934

Location (Bldg/Room#): 4A45 (Mailbox #): 4C76 Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: New Vit D Derivative - - - - - MEY

Inventors (please provide full names): Andreas STEINMEYER et al.

Earliest Priority Date: 371 of PCT/EP98/06159 9/29/1998

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search for Vit D compds as in cl 1 & 30

Please ~~Search~~ See specific compds. (eg cl 5)
Note that these compds have 26,27 cyclo ~~of~~
Copy of cls enclosed

Thank you

****

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Searcher: Beverly e2528

Searcher Phone #:

Searcher Location:

Date Searcher Picked Up:

Date Completed: 3-22-06

Searcher Prep & Review Time:

Online Time:

Type of Search

___ NA Sequence (#)
___ AA Sequence (#)
___ Structure (#)
___ Bibliographic
___ Litigation
___ Fulltext
___ Other

Vendors and cost where applicable

✓ STN ___ Dialog
___ Questel/Orbit ___ Lexis/Nexis
___ Westlaw ___ WWW/Internet

___ In-house sequence systems

___ Commercial ___ Oligomer ___ Score/Length
___ Interference ___ SPDI ___ Encode/Transl
___ Other (specify)

Qazi, S.
09/509934

FILE 'REGISTRY' ENTERED AT 14:52:55 ON 22 MAR 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 MAR 2006 HIGHEST RN 877591-95-2
DICTIONARY FILE UPDATES: 21 MAR 2006 HIGHEST RN 877591-95-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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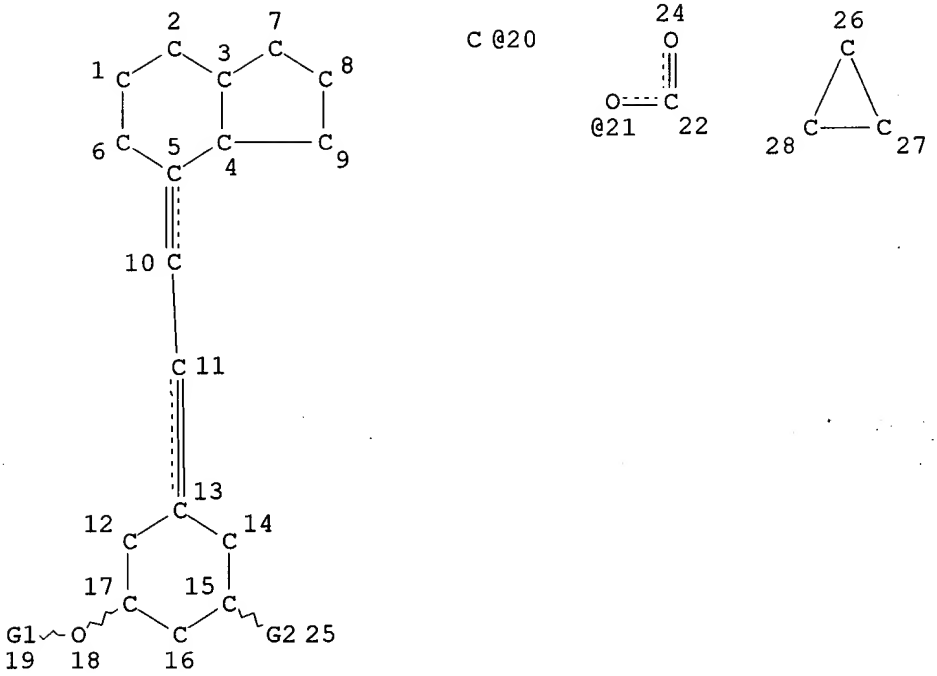
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

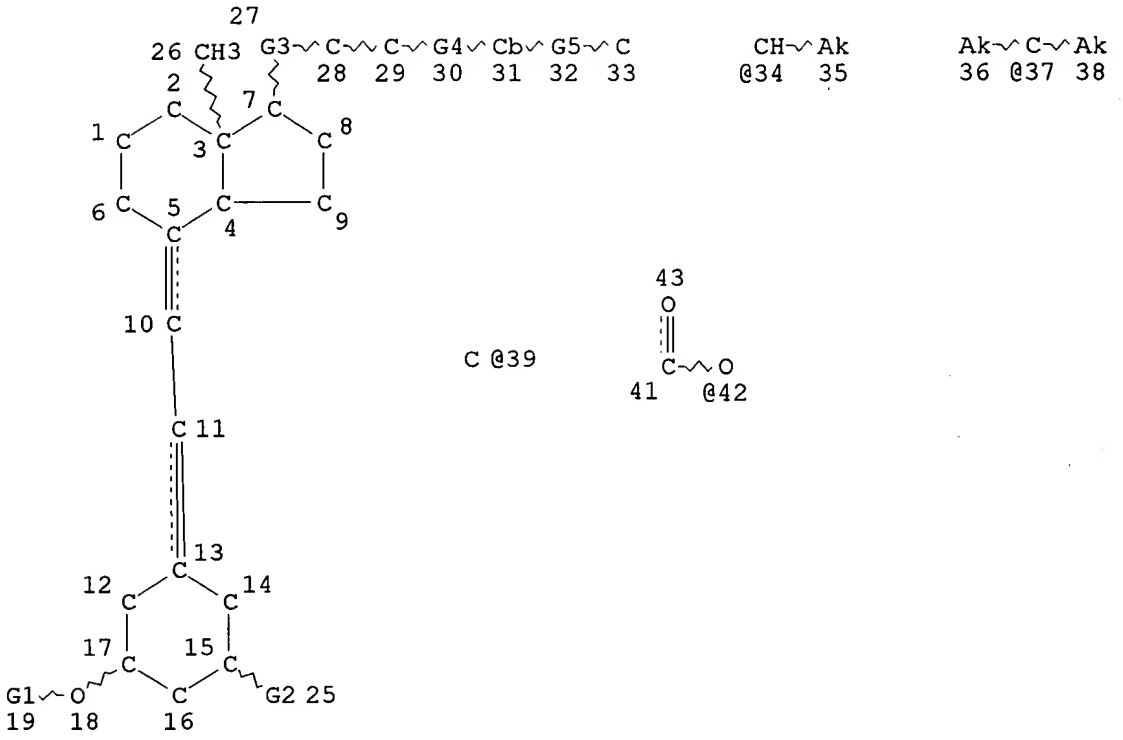
L1 STR



VAR G1=H/20
VAR G2=H/OH/F/CL/BR/21
NODE ATTRIBUTES:
NSPEC IS RC AT 20
CONNECT IS X2 RC AT 1
CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
CONNECT IS X2 RC AT 9
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L2 (964) SEA FILE=REGISTRY SSS FUL L1
L3 STR



VAR G1=H/39
VAR G2=H/OH/F/CL/BR/42
VAR G3=CH2/34/37
REP G4=(0-10) C
REP G5=(0-11) C
NODE ATTRIBUTES:
CONNECT IS X2 RC AT 1
CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
CONNECT IS X2 RC AT 9
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 31
GGCAT IS LOC AT 36
GGCAT IS LOC AT 38
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E3 C AT 31

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE
L4 321 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 964 ITERATIONS 321 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 14:52:55 ON 22 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

Searcher : Shears 571-272-2528

FILE COVERS 1907 - 22 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 21 Mar 2006 (20060321/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

L5 25 S L4
L6 1 S L5 NOT (PY=>1998 OR PD=>19980929) ← Restrict to those items dated prior to 09-29-98

E243 THROUGH E243 ASSIGNED

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:452054 CAPLUS
DOCUMENT NUMBER: 127:145561
TITLE: Expression of the vitamin D and the retinoid X receptors in Saccharomyces cerevisiae: alternative in vivo models for ligand-induced transactivation
AUTHOR(S): Berghoefer-Hochheimer, Yvonne; Zurek, Christian; Langer, Gernot; Munder, Thomas
CORPORATE SOURCE: Department of Cell and Molecular Biology, Hans-Knoll-Institut fur Naturstoff-Forschung e.V., Jena, 07745, Germany
SOURCE: Journal of Cellular Biochemistry (1997), 66(2), 184-196
CODEN: JCEBD5; ISSN: 0730-2312
PUBLISHER: Wiley-Liss
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The transcription factors of the nuclear hormone receptor family regulate gene expression via a complex network of macromol. interactions. The ligand dependent activity of the vitamin D receptor is of particular interest because it modulates gene expression by the heterodimeric interaction with retinoid X receptors. We report here that individual functions of the vitamin D receptor including DNA-binding, homo- and heterodimerization and transactivation can be reconstituted in the yeast Saccharomyces cerevisiae. Interestingly, the simultaneous expression of the native vitamin D receptor and the retinoid X receptor β resulted in a ligand independent transactivation of the lacZ reporter gene coupled to a mouse osteopontin vitamin D response element. However, homodimerization of the vitamin D receptor and heterodimerization were strongly enhanced upon ligand binding, when the receptors were expressed as fusion proteins with the Gal4 transcription factor in a yeast two-hybrid

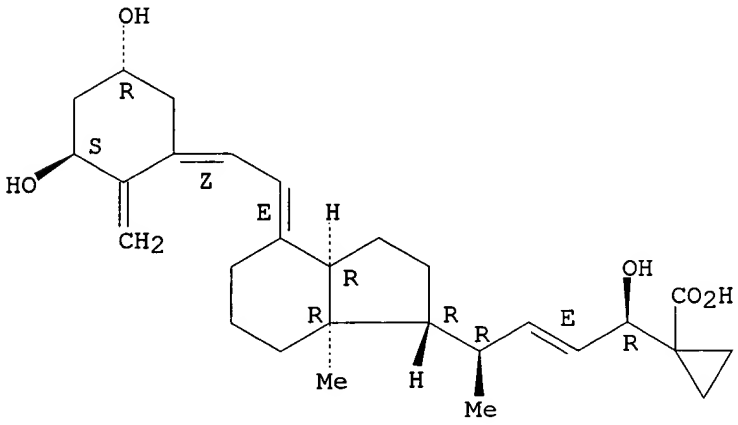
system. Furthermore, transactivating activity of a Gal4-fused vitamin D receptor was induced by vitamin D in a one-hybrid system devoid of retinoid X receptors. In addition, both Gal4-based systems behaved similar with regard to their dose-dependent response to vitamin D and related compds. when compared to the transcriptional activity of the vitamin D receptor in transiently transfected MCF-7 cells. Our results point out that specific ligands strongly enhanced receptor dimerization and induced transactivation in yeast and in MCF-7 cells. The constitutive transactivation by vitamin D receptor-retinoid X receptor heterodimers in yeast, depending on DNA binding of the receptors, strongly argues for the existence of cofactors, which are absent in yeast, but play a fundamental role in gene regulation in higher eukaryotic organisms.

IT **193275-24-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(expression of vitamin D and retinoid X receptors in Saccharomyces cerevisiae as alternative in vivo models for analyzing novel vitamin D-related drugs)

RN 193275-24-0 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[(1α,3β,5Z,7E,14β,22E,24R)-1,3,24-trihydroxy-9,10-secochola-5,7,10(19),22-tetraen-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



FILE 'CAOLD' ENTERED AT 14:53:45 ON 22 MAR 2006

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

Searcher : Shears 571-272-2528

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L7 0 L4

FILE 'USPATFULL' ENTERED AT 14:53:51 ON 22 MAR 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 21 Mar 2006 (20060321/PD)
FILE LAST UPDATED: 21 Mar 2006 (20060321/ED)
HIGHEST GRANTED PATENT NUMBER: US7017190
HIGHEST APPLICATION PUBLICATION NUMBER: US2006059596
CA INDEXING IS CURRENT THROUGH 21 Mar 2006 (20060321/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 21 Mar 2006 (20060321/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2006

L8 15 S L4
L9 2 S L8 NOT (PY=>1998 OR PD=>19980929)

L9 ANSWER 1 OF 2 USPATFULL on STN

ACCESSION NUMBER: 96:113920 USPATFULL

TITLE: 25-Carboxylic acid derivatives in the vitamin D series, process for their production, intermediate products for these processes, pharmaceutical preparations containing these derivatives as well as their use for the production of pharmaceutical agents

INVENTOR(S): Steinmeyer, Andreas, Berlin, Germany, Federal Republic of
Neef, G unter, Berlin, Germany, Federal Republic of
Kirsch, Gerald, Berlin, Germany, Federal Republic of
Schwarz, Katica, Berlin, Germany, Federal Republic of
Thieroff-Ekerdt, Ruth, Berlin, Germany, Federal Republic of
Wiesinger, Herbert, Berlin, Germany, Federal Republic of
Haberey, Martin, Berlin, Germany, Federal Republic of

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5583125		19961210	
APPLICATION INFO.:	US 1993-132176		19931006	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1992-4234382	19921006
	DE 1993-4317415	19930518

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Prior, Kimberly J.

LEGAL REPRESENTATIVE: Millen, White, Zelano, & Branigan, P.C.
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 3471
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB New 25-carboxylic acid derivatives of general formula I, ##STR1## R.sup.19 and R.sup.19a each mean a hydrogen atom or together form an exocyclic methylene group,

R.sup.21 and R.sup.21a independently of one another mean a hydrogen atom, a chlorine or fluorine atom, an alkyl group with 1 to 4 carbon atoms, together a methylene group, together with quaternary carbon atom 20 mean a 3-7 membered, saturated or unsaturated carboxylic ring,

Y preferably means a derivatized carboxyl radical, and the other substituents have the meanings indicated in the description as well as process for their production, are described.

The new compounds have vitamin D activity as well as proliferation-inhibiting and cell-differentiating effects and are suitable for the production of pharmaceutical agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 2 USPATFULL on STN
ACCESSION NUMBER: 93:20527 USPATFULL
TITLE: 24-cyclopropane vitamin D derivatives
INVENTOR(S): DeLuca, Hector F., Deerfield, WI, United States
Nakagawa, Naoshi, Madison, WI, United States
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, Madison, WI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5194431		19930316	
APPLICATION INFO.:	US 1992-910423		19920708	(7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cintins, Marianne M.
ASSISTANT EXAMINER: Kestler, Kimberly J.
LEGAL REPRESENTATIVE: Andrus, Sceales, Starke and Sawall
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1,4,12
LINE COUNT: 809
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Vitamin D.sub.2 analogs in which a cyclopropane ring is introduced onto the 24-carbon of the side chain of 1α,25-dihydroxyvitamin D.sub.2 and 1α-hydroxyvitamin D.sub.2. The compounds are characterized by a marked intestinal calcium transport activity while exhibiting much lower activity than 1α,25-dihydroxy-vitamin D.sub.3 in their ability to mobilize calcium from bone. Because of their preferential calcemic activity, these compounds would be useful for the treatment of diseases where bone formation is desirbed, such as osteoporosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

FILE 'MEDLINE' ENTERED AT 14:54:55 ON 22 MAR 2006

FILE 'BIOSIS' ENTERED AT 14:54:55 ON 22 MAR 2006

FILE 'EMBASE' ENTERED AT 14:54:55 ON 22 MAR 2006

L10 14 S L4
L11 14 DUP REM L10 (0 DUPLICATES REMOVED)

L11 ANSWER 1 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:497996 BIOSIS
DOCUMENT NUMBER: PREV200510285280
TITLE: Antagonist- and inverse agonist-driven interactions of the vitamin D receptor and the constitutive androstane receptor with corepressor protein.
AUTHOR(S): Lempiainen, Harri; Molnar, Ferdinand; Gonzalez, Manuel Macias; Perakyla, Mikael; Carlberg, Carsten [Reprint Author]
CORPORATE SOURCE: Univ Kuopio, Dept Biochem, POB 1627, FIN-70211 Kuopio, Finland
carlberg@messi.uku.fi
SOURCE: Molecular Endocrinology, (SEP 2005) Vol. 19, No. 9, pp. 2258-2272.
CODEN: MOENEN. ISSN: 0888-8809.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Nov 2005
Last Updated on STN: 16 Nov 2005

AB Ligand-dependent signal transduction by nuclear receptors (NRs) includes dynamic exchanges of coactivator (CoA) and corepressor (CoR) proteins. Here we focused on the structural determinants of the antagonist- and inverse agonist-enhanced interaction of the endocrine NR vitamin D receptor (VDR) and the adopted orphan NR constitutive androstane receptor (CAR) from two species with the CoR NR corepressor. We found that the pure VDR antagonist ZK168281 and the human CAR inverse agonist clotrimazole are both effective inhibitors of the CoA interaction of their respective receptors, whereas ZK168281 resembled more the mouse CAR inverse agonist androstanol in its ability to recruit CoR proteins. Molecular dynamics simulations resulted in comparable models for the CoR receptor interaction domain peptide bound to VDR/antagonist or CAR/inverse agonist complexes. A salt bridge between the CoR and a conserved lysine in helix 4 of the NR is central to this interaction, but also helix 12 was stabilized by direct contacts with residues of the CoR. Fixation of helix 12 in the antagonistic/inverse agonistic conformation prevents an energetically unfavorable free floatation of the C terminus. The comparable molecular mechanisms that explain the similar functional profile of antagonist and inverse agonists are likely to be extended from VDR and CAR to other members of the NR superfamily and may lead to the design of even more effective ligands.

L11 ANSWER 2 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:155557 BIOSIS
DOCUMENT NUMBER: PREV200500153718
TITLE: 1,25-dihydroxyvitamin D3 stimulates cyclic vitamin D receptor/retinoid X receptor DNA-binding, co-activator

recruitment, and histone acetylation in intact osteoblasts.

AUTHOR(S): Kim, Sungtae; Shevde, Nirupama K.; Pike, J. Wesley [Reprint Author]

CORPORATE SOURCE: Dept Biochem, Univ Wisconsin, 433 Babcock Dr, Madison, WI, 53706, USA
pike@biochem.wisc.edu

SOURCE: Journal of Bone and Mineral Research, (February 2005) Vol. 20, No. 2, pp. 305-317. print.
ISSN: 0884-0431 (ISSN print).

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 20 Apr 2005
Last Updated on STN: 20 Apr 2005

AB 1,25(OH)2D3 induces gene expression through the VDR. We used chromatin immunoprecipitation techniques to explore this 1,25(OH)2D3-induced process on the 25-hydroxyvitamin D3-24-hydroxylase (Cyp24) and Opn gene promoters in intact osteoblasts. Our studies show that 1,25(OH)2D3-induced transactivation is a dynamic process that involves promoter-specific localization of VDR and RXR, recruitment of histone acetyltransferase complexes, and in the case of the Cyp24 gene, modification of histone 4.

L11 ANSWER 3 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:379947 BIOSIS

DOCUMENT NUMBER: PREV200510167507

TITLE: Calbindin-D28k (CaBP28k) identification and regulation by 1,25-dihydroxyvitamin D-3 in human choriocarcinorna cell line JEG-3.

AUTHOR(S): Belkacemi, Louiza; Zuegel, Ulrich; Steinmeyer, Andreas; Dion, Jean-Pierre; Lafond, Julie [Reprint Author]

CORPORATE SOURCE: Univ Quebec, Dept Sci Biol, Lab Physiol Maternofoetale, CP 8888,Succursale Ctr Ville, Montreal, PQ H3C 3P8, Canada
lafond.julie@uqam.ca

SOURCE: Molecular and Cellular Endocrinology, (MAY 31 2005) Vol. 236, No. 1-2, pp. 31-41.
CODEN: MCEND6. ISSN: 0303-7207.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 21 Sep 2005
Last Updated on STN: 21 Sep 2005

AB Calbindin-D28k (CaBP28k) is a cytosolic calcium (Ca2(+))-binding protein expressed ill tissues such as intestine, kidneys and placenta. This protein is thought to be involved in Ca2(+) homeostasis. While it is well known that CaBP28k is influenced by 1,25-dihydroxyvitamin D-3[1,25(OH)(2)D-3] in the intestine and kidneys, nothing is known regarding the regulation of this protein in trophoblasts of human placenta. We used JEG-3 syncytiotrophoblast-like carcinoma cell line to study the regulation of CaBP28k in correlation with 1,25(OH)(2)D-3 receptor (VDR) following 1,25(OH)(2)D-3 treatments. Our data demonstrated for the first time that both CaBP28k mRNA and protein were highly induced by the addition of 1,25(OH)(2)D-3 in dose-dependent manner. Moreover, the increase and subsequent decrease in the expression of CaBP28k and VDR mRNAs indicates the transient nature of the changes in gene expression in response to 1,25(OH)(2)D-3. This is in contrast with the temporal pattern of increasing protein for CaBP28k and VDR. We also showed that new RNA

and protein syntheses are required for 1,25(OH)(2)D-3-induced upregulation of CaBP28k. Furthermore, a 25-carboxylic ester analogue of 1,25(OH)(2)D-3, ZK159222, used as an antagonist of 1,25(OH)(2)D-3 signaling confirmed that indeed 1,25(OH)(2)D-3 was implicated in the induction of CaBP28k. These novel findings are a contribution to the processes that drive CaBP28k expression regulation in human placenta. (c) 2005 Elsevier Ireland Ltd. All rights reserved.

L11 ANSWER 4 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:95214 BIOSIS
DOCUMENT NUMBER: PREV200400084218
TITLE: Retinoblastoma protein and CCAAT/enhancer-binding protein beta are required for 1,25-dihydroxyvitamin D3-induced monocytic differentiation of HL60 cells.
AUTHOR(S): Ji, Yan; Studzinski, George P. [Reprint Author]
CORPORATE SOURCE: Department of Pathology and Laboratory Medicine, UMDNJ-New Jersey Medical School, 185 South Orange Avenue, Newark, NJ, 07013, USA
studzins@umdnj.edu
SOURCE: Cancer Research, (January 1 2004) Vol. 64, No. 1, pp. 370-377. print.
ISSN: 0008-5472 (ISSN print).
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 11 Feb 2004
Last Updated on STN: 11 Feb 2004

AB Derivatives of vitamin D (deltanoids) are well known to have the ability to induce differentiation of a variety of malignant cells, including human leukemia cells, but the signaling pathways that lead to such an outcome are unclear. In this study we investigated the role of the retinoblastoma protein (pRb) and the CCAAT/enhancer-binding protein (C/EBP) beta in 1,25-dihydroxyvitamin D3 (1,25D3)-induced monocytic differentiation of human leukemia HL60 cells. It was found that in this system, pRb is up-regulated within 12 h of exposure to the inducer, and the kinetics of its increase parallel the appearance of the early markers of differentiation, CD14 and monocyte-specific esterase. The increase in pRb expression was accompanied by a similar increase in C/EBPbeta protein, and these two proteins coimmunoprecipitated, suggesting formation of a complex. Oligonucleotides antisense to pRb or C/EBPbeta (but not to C/EBPalpha) or containing the C/EBP-binding sequence ("decoys"), all inhibited 1,25D3-induced differentiation. Inhibition of signaling by vitamin D receptor or by mitogen-activated protein kinase (MAPK) extracellular signal-regulated kinase and c-Jun-NH2-terminal kinase pathways using pharmacological inhibitors ZK159222, PD98059, or SP600125, respectively, inhibited pRb and C/EBPbeta expression and differentiation in a coordinate manner. In contrast, inhibition of the p38MAPK pathway by SB202190 potentiated differentiation and the up-regulation of pRb and C/EBPbeta. We suggest that 1,25D3 may signal monocytic differentiation of HL60 cells in a vitamin D receptor-dependent manner that includes activation of extracellular signal-regulated kinase and c-Jun-NH2-terminal kinase MAPK pathways, which then up-regulate pRb and C/EBPbeta expression and in turn initiate the differentiation process.

L11 ANSWER 5 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:455897 BIOSIS

DOCUMENT NUMBER: PREV200300455897
TITLE: Current understanding of the function of the nuclear vitamin D receptor in response to its natural and synthetic ligands.
AUTHOR(S): Carlberg, Carsten [Reprint Author]
CORPORATE SOURCE: Department of Biochemistry, University of Kuopio, 70211, P.O. Box 1627, Kuopio, Finland carlberg@messi.uku.fi
SOURCE: Reichrath, J. [Editor, Reprint Author]; Friedrich, M. [Editor]; Tilgen, W. [Editor, Reprint Author]. Recent Results Cancer Res., (2003) pp. 29-42. Vitamin D analogs in cancer prevention and therapy. print. Publisher: Springer-Verlag GmbH & Co. KG, Heidelberger Platz 3, D-14197, Berlin, Germany. Series: Recent Results in Cancer Research.
Meeting Info.: First International Symposium "Vitamin D Analogs in Cancer Prevention and Therapy". Saar, Germany. May 03-04, 2002.
CODEN: RRCRBU. ISSN: 0080-0015. ISBN: 3-540-00290-1 (cloth).
DOCUMENT TYPE: Book; (Book Chapter)
Conference; (Meeting)
Conference; (Meeting Paper)
LANGUAGE: English
ENTRY DATE: Entered STN: 1 Oct 2003
Last Updated on STN: 1 Oct 2003

L11 ANSWER 6 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:149959 BIOSIS
DOCUMENT NUMBER: PREV200200149959
TITLE: Critical role of helix 12 of the vitamin D3 receptor for the partial agonism of carboxylic ester antagonists.
AUTHOR(S): Vaisanen, Sami; Perakyla, Mikael; Karkkainen, Jouni I.; Steinmeyer, Andreas; Carlberg, Carsten [Reprint author]
CORPORATE SOURCE: Department of Biochemistry, University of Kuopio, FIN-70211, Kuopio, Finland carlberg@messi.uku.fi
SOURCE: Journal of Molecular Biology, (11 January, 2002) Vol. 315, No. 2, pp. 229-238. print.
CODEN: JMOBAK. ISSN: 0022-2836.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Feb 2002
Last Updated on STN: 26 Feb 2002

AB The carboxy-terminal alpha-helix of a nuclear receptor ligand-binding domain (LBD), helix 12, contains a critical, ligand-modulated interface for the interaction with coactivator proteins. In this study, using the example of the vitamin D receptor (VDR) and the partial antagonist ZK159222, the role of helix 12 (residues 417-427) for both antagonistic and agonistic receptor actions was investigated. Amino acid residue G423 was demonstrated to be critical for partial agonism of ZK159222, but not for the activity of the natural VDR agonist, 1alpha,25-dihydroxyvitamin D3 (1alpha,25(OH)2D3). The amount of partial agonism of ZK159222 increased when helix 12 was truncated by the last four amino acid residues (DELTA424-27) and augmented even more, when in addition helix 12 of VDR's dimerization partner, retinoid X receptor (RXR), was truncated. In contrast, the low

agonism of a structural derivative of ZK159222; ZK168281, was not affected comparably, whereas other close structural relatives of ZK159222 even demonstrated the same agonistic activity as that of 1alpha,25(OH)2D3. The amount of agonism of ZK159222 and ZK168281 at different variations of helix 12 correlated well with VDR's ability to complex with coactivator proteins and inversely correlated with the strength of the compound's antagonistic action on 1alpha,25(OH)2D3 signalling. Molecular dynamics simulations of the LBD complexed with the two antagonists could explain their different action by demonstrating a more drastic displacement of helix 12 through ZK168281 than through ZK159222. Moreover, the modelling could indicate a kink of helix 12 at amino acid residue G423, which provides the last four amino acid residues of helix 12 with a modulatory role for the partial agonism of some VDR antagonists, such as ZK159222. In conclusion, partial agonism of a VDR antagonist is lower the more it disturbs helix 12 in taking the optimal position for coactivator interaction.

L11 ANSWER 7 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:422958 BIOSIS
DOCUMENT NUMBER: PREV200200422958
TITLE: Current understanding of the selective activity of vitamin D analogues.
AUTHOR(S): Carlberg, Carsten [Reprint author]
CORPORATE SOURCE: Department of Biochemistry, University of Kuopio, Kuopio, Finland
SOURCE: Anti-Cancer Drugs, (June, 2002) Vol. 13, No. 5, pp. A5. print.
Meeting Info.: First International Symposium on Vitamin D Analogs in Cancer Prevention and Therapy. Homburg/Saar, Germany. May 03-04, 2002.
CODEN: ANTDEV. ISSN: 0959-4973.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Aug 2002
Last Updated on STN: 7 Aug 2002

L11 ANSWER 8 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:297744 BIOSIS
DOCUMENT NUMBER: PREV200100297744
TITLE: Different molecular mechanisms of vitamin D3 receptor antagonists.
AUTHOR(S): Toell, Andrea; Gonzalez, Manuel Macias; Ruf, Dagmar; Steinmeyer, Andreas; Ishizuka, Seiichi; Carlberg, Carsten [Reprint author]
CORPORATE SOURCE: Department of Biochemistry, University of Kuopio, FIN-70211, Kuopio, Finland
carlberg@messi.uku.fi
SOURCE: Molecular Pharmacology, (June, 2001) Vol. 59, No. 6, pp. 1478-1485. print.
CODEN: MOPMA3. ISSN: 0026-895X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 20 Jun 2001
Last Updated on STN: 19 Feb 2002

AB Two structurally different antagonists of the nuclear hormone 1alpha,25-dihydroxyvitamin D3 (1alpha,25(OH)2D3), the 25-carboxylic

ester ZK159222 and the 26,23-lactone TEI-9647, have recently been described. In this study, the molecular mechanisms and the efficacy of both antagonists were compared. ZK159222 showed similar potency and sensitivity to 1alpha,25(OH)2D3 in ligand-dependent gel shift assays using the vitamin D receptor (VDR), the retinoid X receptor, and specific DNA binding sites, whereas TEI-9647 displayed reduced potency and >10-fold lower sensitivity in this assay system. Limited protease digestion and gel shift clipping assays showed that the two antagonists stabilized individual patterns of VDR conformations. Both antagonists prevented the interaction of the VDR with coactivator proteins, as demonstrated by GST-pull-down and supershift assays; like the natural hormone, however, they were able to induce a dissociation of corepressor proteins. Interestingly, ZK159222 demonstrated functional antagonism in reporter gene assays both in HeLa and MCF-7 cells, whereas TEI-9647 functioned as a less sensitive antagonist only in MCF-7 cells. In conclusion, the two 1alpha,25(OH)2D3 analogs act in part via different molecular mechanisms, which allows us to speculate that ZK159222 is a more complete antagonist and TEI-9647 a more selective antagonist.

L11 ANSWER 9 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:420516 BIOSIS
DOCUMENT NUMBER: PREV200100420516
TITLE: A non-calcemic analog of 1alpha,25 dihydroxy vitamin D3 (JKF) upregulates the induction of creatine kinase B by 17beta estradiol in osteoblast-like ROS 17/2.8 cells and in rat diaphysis.
AUTHOR(S): Somjen, D.; Waisman, A.; Lee, J.-K.; Posner, G. H.; Kaye, A. M. [Reprint author]
CORPORATE SOURCE: Department of Molecular Genetics, Weizmann Institute of Science, Rehovot, 76100, Israel
lhkaye@weizmann.weizmann.ac.il
SOURCE: Journal of Steroid Biochemistry and Molecular Biology, (June, 2001) Vol. 77, No. 4-5, pp. 205-212. print.
CODEN: JSBBEZ. ISSN: 0960-0760.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 5 Sep 2001
Last Updated on STN: 22 Feb 2002

AB We have reported that multiple treatments with so-called 'non-hypercalcemic' analogs of 1alpha,25(OH)2 vitamin D3 (1,25(OH)2D3) stimulate the specific activity of creatine kinase BB (CK) in ROS 17/2.8 osteoblast-like cells, and that pretreatment with these analogs upregulates responsiveness and sensitivity to 17beta estradiol (E2) for the induction of CK. However, since the analogs showed toxicity in vivo, we have now studied the action of a demonstrably non-calcemic hybrid analog of vitamin D in ROS 17/2.8 cells, and prepubertal rats. The analog JKF was designed to separate its calcemic activity from other biological activities by combining a calcemic-lowering 1-hydroxymethyl group with a potentiating C, D-ring side chain modification including 24 difluoronation. Treatment with 1 pM JKF alone significantly stimulated CK specific activity at 4 h by 30+-10%. However after three daily pretreatments, JKF upregulated the extent of induction by 30 nM E2 by 33% at 1 pM and by 97% at 1 nM; the E2 dose needed for a significant stimulation of CK activity was lowered to 30 pM. The action of the SERMS tamoxifen, tamoxifen methiodide and raloxifene, at 3 muM, was also upregulated by three daily pretreatments with 1 nM JKF; unexpectedly, this pretreatment prevented

the inhibition of E2 stimulation by the SERMS. Upregulation of E2 action by 1 nM JKF was inhibited by 1 nM ZK159222, an inhibitor of the nuclear action of 1,25(OH)2D3. In vivo, three daily injections of 0.05 ng/g body weight of JKF augmented the response of prepubertal female rat diaphysis and epiphysis to E2. Therefore, demonstrably non-calcemic analogs of 1,25(OH)2D3 may have potential for use in combination with estrogens or SERMS in the prevention and/or treatment of metabolic bone diseases such as postmenopausal osteoporosis.

L11 ANSWER 10 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:445193 BIOSIS
DOCUMENT NUMBER: PREV200000445193
TITLE: Antagonistic action of a 25-carboxylic ester analogue of 1alpha,25-dihydroxyvitamin D3 is mediated by a lack of ligand-induced vitamin D receptor interaction with coactivators.
AUTHOR(S): Herdick, Michaela; Steinmeyer, Andreas; Carlberg, Carsten [Reprint author]
CORPORATE SOURCE: Institut fuer Physiologische Chemie I, Heinrich-Heine-Universitaet Duesseldorf, D-40001, Duesseldorf, Germany
SOURCE: Journal of Biological Chemistry, (June 2, 2000) Vol. 275, No. 22, pp. 16506-16512. print.
CODEN: JBCHA3. ISSN: 0021-9258.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 18 Oct 2000
Last Updated on STN: 10 Jan 2002

AB A 25-carboxylic ester analogue of 1alpha,25-dihydroxyvitamin D3 (1alpha,25-(OH)2D3), ZK159222, was described as a novel type of antagonist of 1alpha,25-(OH)2D3 signaling. The ligand sensitivity of ZK159222, in facilitating complex formation between 1alpha,25-(OH)2D3 receptor (VDR) and the retinoid X receptor (RXR) on a 1alpha,25-(OH)2D3 response element (VDRE), was approximately 7-fold lower when compared with 1alpha,25-(OH)2D3. However, ZK159222 was not able to promote a ligand-dependent interaction of the VDR with the coactivator proteins SRC-1, TIF2, and RAC3, neither in solution nor in a complex with RXR on DNA. Functional analysis in HeLa and COS-7 cells demonstrated a 10-100-fold lower ligand sensitivity for ZK159222 than for 1alpha,25-(OH)2D3 and, most interestingly, a potency that was drastically reduced compared with 1alpha,25-(OH)2D3. A cotreatment of 1alpha,25-(OH)2D3 with a 100-fold higher concentration of ZK159222 resulted in a prominent antagonistic effect both in functional in vivo and in in vitro assays. These data suggest that the antagonistic action of ZK159222 is due to a lack of ligand-induced interaction of the VDR with coactivators with a parallel ligand sensitivity, which is sufficient for competition with the natural hormone for VDR binding.

L11 ANSWER 11 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2001:45257 BIOSIS
DOCUMENT NUMBER: PREV200100045257
TITLE: Carboxylic ester antagonists of 1alpha,25-dihydroxyvitamin D3 show cell-specific actions.
AUTHOR(S): Herdick, Michaela; Steinmeyer, Andreas; Carlberg, Carsten [Reprint author]
CORPORATE SOURCE: Institut fuer Physiologische Chemie I and Biomedizinisches Forschungszentrum,

Heinrich-Heine-Universitaet, D-40001, Duesseldorf, Germany
carlberg@uni-duesseldorf.de
SOURCE: Chemistry and Biology (London), (November, 2000) Vol. 7, No. 11, pp. 885-894. print.
ISSN: 1074-5521.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 17 Jan 2001
Last Updated on STN: 15 Feb 2002

AB Background: The nuclear hormone 1alpha,25-dihydroxyvitamin D3 (1alpha,25(OH)2D3) acts through the transcription factor vitamin D receptor (1alpha,25(OH)2D3 receptor, VDR) via combined contact with the retinoid X receptor (RXR), coactivator proteins and specific DNA binding sites (1alpha,25(OH)2D3 response elements, VDREs). Ligand-mediated conformational changes of the VDR are the basis of the molecular mechanisms of nuclear 1alpha,25(OH)2D3 signaling. Cell-specific VDR antagonists would allow to dissect and fine regulate the pleiotropic 1alpha,25(OH)2D3 endocrine system affecting the regulation of calcium homeostasis, bone mineralization and other cellular functions. Results: Two carboxylic ester analogues of 1alpha,25(OH)2D3, ZK159222 and ZK168281, which have additional cyclopropyl rings and allylic alcohol substructures in their side chain, were characterized in different 1alpha,25(OH)2D3 target tissues as functional antagonists of 1alpha,25(OH)2D3 signaling. In all tested systems, ZK168281 showed lower residual agonistic activity and higher antagonistic effects than ZK159222, but the strength of these effects was cell-specific. Both antagonists were shown to act via the same mechanisms: they selectively stabilize an antagonistic conformation of the ligand-binding domain of the VDR within VDR-RXR-VDRE complexes, which then inhibits the interaction of the VDR with coactivator proteins and an induction of transactivation. Interestingly, cells that have been treated with antagonists were found to contain VDR-RXR heterodimers in a different conformation than cells that were stimulated with an agonist. Moreover, the strength of the functional antagonism of ZK159222 and ZK168281 appears to depend on the VDR/RXR expression ratio and high RXR levels were found to reduce the antagonistic effect of both compounds. In support of this observation, the overexpression of an transactivation function 2 (AF-2) deletion mutant of RXR resulted for both ZK159222 and ZK168281 in a reduced agonistic activity and an increased antagonistic effect. Conclusions: A novel, more potent VDR antagonist, ZK168281, was identified, which stabilizes VDR-RXR heterodimers in living cells in a different conformation than agonists. In addition, the VDR/RXR ratio was found as the major discriminating factor for understanding cell-specific effects of VDR antagonists.

L11 ANSWER 12 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:264114 BIOSIS
DOCUMENT NUMBER: PREV200000264114
TITLE: Vitamin D analogs modulate the action of gonadal steroids in human vascular cells in vitro.
AUTHOR(S): Somjen, D.; Kohen, F.; Amir-Zaltsman, Y.; Knoll, E.; Stern, N. [Reprint author]
CORPORATE SOURCE: Institute of Endocrinology, Metabolism and Hypertension, Tel Aviv-Sourasky Medical Center, 6 Weizman Street, Tel Aviv, 64239, Israel
SOURCE: American Journal of Hypertension, (April, 2000) Vol.

13, No. 4 Part 1, pp. 396-403. print.
CODEN: AJHYE6. ISSN: 0895-7061.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 21 Jun 2000
Last Updated on STN: 5 Jan 2002

AB We have previously reported that estradiol (E2) and dihydrotestosterone (DHT) regulate cell growth in human umbilical arterial smooth muscle cells (SMC) and in an endothelial cell line (E304). In SMC both gonadal steroids stimulated DNA synthesis at low concentrations and suppressed 3(H) thymidine incorporation at high concentrations, whereas in E304 cells E2 and DHT dose dependently enhanced DNA synthesis. In both cell types gonadal steroids also induced the specific activity of creatine kinase BB (CK). Previous evidence suggets that the in vitro and in vivo CK responses to gonadal steroids in bone cells are upregulated by pretreatment with vitamin D analogs due to increased level of cellular estrogen receptors (ER). Here we analyzed the interaction of the vitamin D analogs hexafluorovitamin D (FL), JK-1624 F2-2 (JKF), and CB 1093 (CB) with gonadal steroids in regulating DNA synthesis and CK activity in human vascular cells in vitro. In E304 cells, daily treatment with FL, JKF, or CB (1 nmol/L for 3 days) increased DNA synthesis by 110 +- 11%, 65 +- 16%, and 88 +- 23% respectively. In contrast, the same analogs inhibited 3(H) thymidine incorporation by 52 +- 21%, 46 +- 19%, and 50 +- 10%, respectively, in SMC. In both cell types all three analogs increased CK by 25% to 75% and amplified the CK response to E2 and to DHT by twofold to threefold. In E304 cells the vitamin D analogs also increased DNA response to gonadal steroids from 50% to 60% to 200% to 280%. In SMC these analogs did not modify the DNA synthetic response to a low E2 concentration, but prevented the suppression of DNA synthesis exerted by high concentrations of E2 and DHT. Vitamin D inhibitors known to block cellular calcium mobilization, had no effect on the proliferative activity induced by vitamin D analogs. However, the inhibitor of the nuclear effects of vitamin D, ZK 159222, blocked the stimulatory effects of CB on DNA synthesis in E304 cells. Finally, both 1,25(OH)2 D3, and JKF decreased the expression of ERbeta proteins in SMC and increased the ERalpha isoform in E304 cells by 40% to 75%. The results indicate that vascular cells are targets for both vitamin D and gonadal steroid action and suggest a possible interaction between these hormones in the regulation of cell proliferation via modulation of vascular ER or interaction with proteins associated with ER.

L11 ANSWER 13 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1998:247713 BIOSIS
DOCUMENT NUMBER: PREV199800247713
TITLE: ZK 159222: A novel vitamin D receptor partial agonist.
AUTHOR(S): Wiesinger, H.; Ulrich, M.; Fahnrich, M.; Haberey, M.; Neef, G.; Schwarz, K.; Kirsch, G.; Langer, G.; Thieroff-Ekerdt, R.; Steinmeyer, A.
CORPORATE SOURCE: Res. Lab. Schering AG, D-13342 Berlin, Germany
SOURCE: Journal of Investigative Dermatology, (April, 1998) Vol. 110, No. 4, pp. 532. print.
Meeting Info.: Annual Meeting of the International Investigative Dermatology. Cologne, Germany. May 7-10, 1998. The Society for Investigative Dermatology, Inc.
CODEN: JIDEAE. ISSN: 0022-202X.
DOCUMENT TYPE: Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 4 Jun 1998
Last Updated on STN: 4 Jun 1998

L11 ANSWER 14 OF 14 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 1998:292318 BIOSIS
DOCUMENT NUMBER: PREV199800292318
TITLE: ZK 159222: A novel vitamin D receptor partial agonist.
AUTHOR(S): Wiesinger, H.; Ulrich, M.; Faehnrich, M.; Haberey, M.; Neef, G.; Schwarz, K.; Kirsch, G.; Langer, G.; Thieroff-Ekerdt, R.; Steinmeyer, A.
CORPORATE SOURCE: Res. Lab. Schering AG, D-13342 Berlin, Germany
SOURCE: Journal of Dermatological Science, (March, 1998) Vol. 16, No. SUPPL. 1, pp. S60. print.
Meeting Info.: Third Joint Meeting of the European Society for Dermatological Research, Japanese Society for Investigative Dermatology, Society for Investigative Dermatology. Cologne, Germany. May 7-10, 1998. European Society for Dermatological Research; Japanese Society for Investigative Dermatology; Society for Investigative Dermatology.
CODEN: JDSCEI. ISSN: 0923-1811.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 8 Jul 1998
Last Updated on STN: 8 Jul 1998

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FILE CONTENT: 1961-PRESENT VOL 144 ISS 12 (20060317/ED)

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(COVERAGE TO THESE DATES IS NOT COMPLETE):

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DE 102004030305 12 JAN 2006
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JP 2006008639 12 JAN 2006
WO 2006012333 02 FEB 2006
GB 2415429 28 DEC 2005
FR 2873371 27 JAN 2006
RU 2267521 10 JAN 2006
CA 2472818 30 DEC 2005

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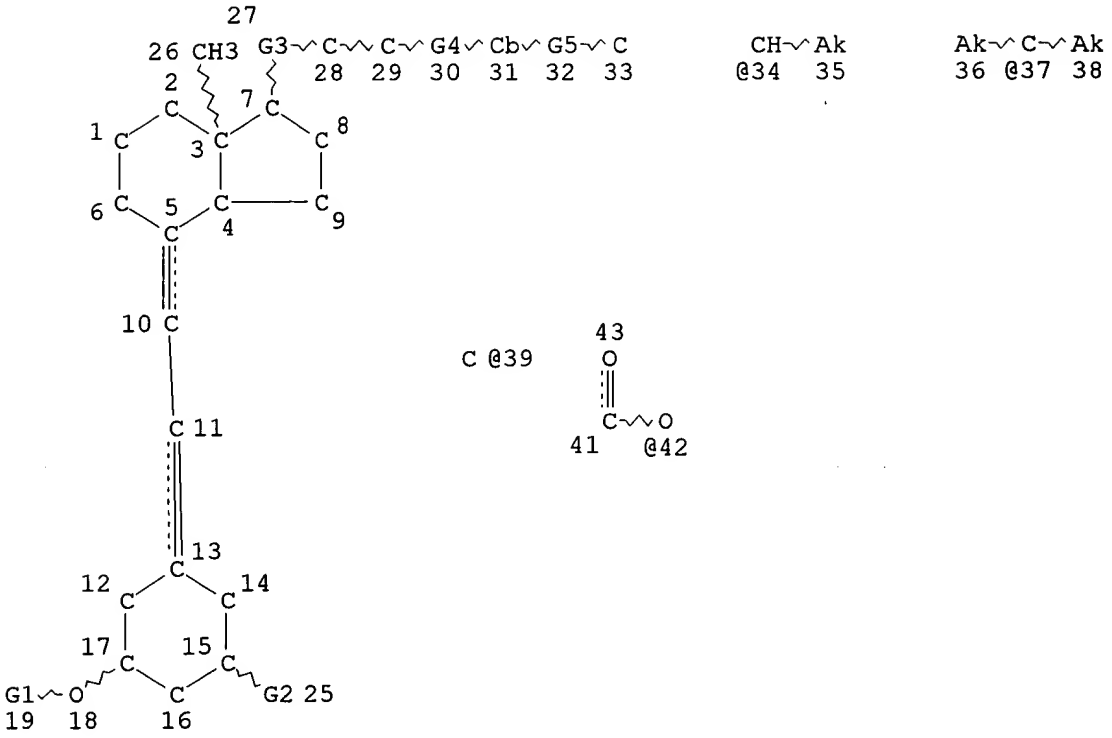
L12 STR



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REP G5=(0-11) C
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CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
CONNECT IS X2 RC AT 9
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GGCAT IS MCY SAT AT 31
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GGCAT IS LOC AT 38
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
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ALL RING(S) ARE ISOLATED

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Searcher : Shears 571-272-2528

L15 32 SEA FILE=MARPAT ABB=ON PLU=ON L14/COMPLETE ← Retrieve only items wherein iterations are complete

L15 ANSWER 1 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:235403 MARPAT
TITLE: Vitamin D receptor antagonists and their use in treating asthma and other disorders
INVENTOR(S): Deluca, Hector F.; Barycki, Rafal; Rivera-Bermudez, Moises A.; Plum, Lori A.; Clagett-Dame, Margaret
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005182033	A1	20050818	US 2005-59313	20050216
WO 2005079464	A2	20050901	WO 2005-US5084	20050216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-545347P 20040217

AB Various ester and ketone vitamin D analogs as antagonists of the vitamin D receptor, their preparation and compns. containing them for use in treating conditions such as asthma, eczema, hypercalcemia, hyperparathyroidism, sarcoidosis, and intoxication with vitamin D are described. Thus, (22E)-(24R)-25-carbobutoxy-2-methylene-26,27-cyclo-22-dehydro-1α,24-dihydroxy-19-norvitamin D3 (OU-72) was prepared and showed binding to the vitamin D receptor approx. equal to the native hormone. OU-72 was active in stimulating transcription of a reporter gene stably transfected in Ros17/2.8 (bone) cells, indicating significant biol. activity. Furthermore, OU-72 showed antagonistic activity when administered along with the native hormone (1α,25-dihydroxyvitamin D3) in inducing differentiation of HL-60 cells. OU-72 had no calcemic activity when measured either by bone calcium mobilization even when given at the dose of 2900 pmol/day. However, OU-72 did retain the ability to elevate intestinal calcium transport. This compound will find use as an effective therapy for treating asthma, hypercalcemia, eczema, hyperparathyroidism, sarcoidosis, and vitamin D intoxication.

L15 ANSWER 2 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:349476 MARPAT
TITLE: Treatment of inflammatory bowel disease with 2-methylene-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Cantorna, Margherita
PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005080059	A1	20050414	US 2003-680881	20031008
WO 2005039592	A1	20050506	WO 2004-US23586	20040723

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-680881 20031008

AB A method of preventing and/or treating inflammatory bowel disease, particularly ulcerative colitis and Crohn's disease, is disclosed. The method involves administering a 2-methylene-19-nor-vitamin D compound in an amount effective to treat the disease. The administration of a 2-methylene-19-nor-vitamin D compound also prevents the development of or delays the onset of inflammatory bowel disease in susceptible individuals. The preferred compds. are 1α-hydroxy-2-methylene-19-nor-homopregnacalciferol and 2-methylene-19-nor-20(S)-1α,25-dihydroxyvitamin D3.

L15 ANSWER 3 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:380071 MARPAT
TITLE: Preparation of 2-propylidene-19-norvitamin D compounds for pharmaceutical use
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.; Glebocka, Agnieszka; Plum, Lori A.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092118	A2	20041028	WO 2004-US11059	20040409
WO 2004092118	A3	20050127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2516233	AA	20041028	CA 2004-2516233	20040409
US 2004229851	A1	20041118	US 2004-821479	20040409
EP 1613588	A2	20060111	EP 2004-749961	20040409

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.: US 2003-461958P 20030410
WO 2004-US11059 20040409

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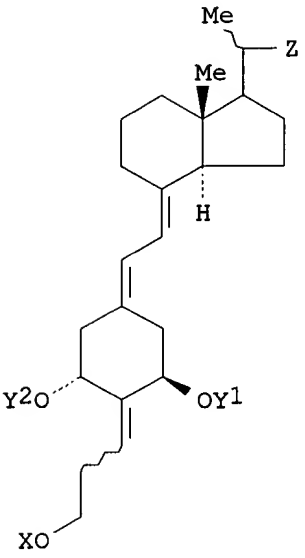

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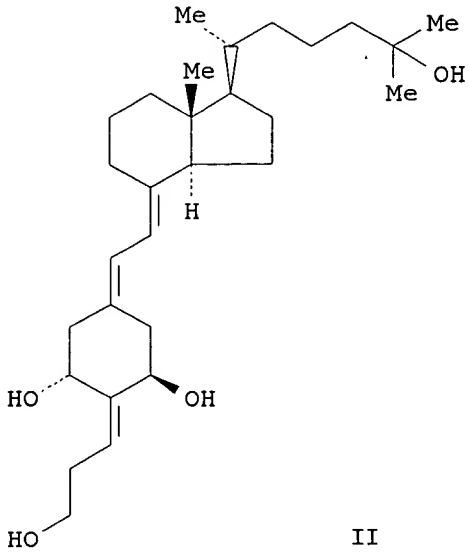

II

AB 2-Propylidene-19-norvitamin D compds. of formula I [Y1, Y2 = H, protecting group; X = H, alkyl, protecting group, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl; Z = H, Me, acyl, (substituted) OH, CH2OH, etc.] are prepared for pharmaceutical use. These compds. are characterized by high bone calcium mobilization activity and high intestinal calcium transport activity. This results in novel therapeutic agents for the treatment and prophylaxis of diseases where bone formation is desired, particularly osteoporosis, as well as autoimmune diseases such as multiple sclerosis, diabetes mellitus and lupus. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as an anti-cancer agent and for the treatment of skin diseases such as psoriasis. These compds. also increase both breaking strength and crushing strength of bones evidencing use in conjunction with bone replacement surgery such as hip and knee replacements. Thus, II was prepared and showed significant activity in promoting the differentiation of leukemia cells.

L15 ANSWER 4 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:247113 MARPAT
TITLE: Method of extending the dose range of vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Pike, John W.; Shevde, Nirupama; Plum, Lori A.; Clagett-Dame, Margaret
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004053813	A1	20040318	US 2002-235244	20020905
CA 2497828	AA	20040318	CA 2003-2497828	20030626
WO 2004022068	A1	20040318	WO 2003-US20517	20030626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003245748	A1	20040329	AU 2003-245748	20030626
EP 1545549	A1	20050629	EP 2003-739354	20030626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014006	A	20050809	BR 2003-14006	20030626
JP 2006500388	T2	20060105	JP 2004-534233	20030626
PRIORITY APPLN. INFO.:			US 2002-235244	20020905
			WO 2003-US20517	20030626

AB Inhibitors of bone calcium resorption are administered to allow high doses of vitamin D compds. or mimetics (Markush structures are given) to be given with the intent of treating non-calcium related diseases such as cancer, psoriasis, and autoimmune disease without the dangers of calcification of kidney, heart, and aorta. Inhibitors of bone calcium resorption include the bis-phosphonates, OPG or the soluble RANKL receptor known as sRANK, and function to block the availability of calcium from bone thereby preventing hypercalcemia and the resulting calcification of soft tissues. Thus, high doses of 1α,25-dihydroxyvitamin D 3 (1,25-(OH) 2 D 3), its analogs, prodrugs, or mimetics can be utilized with minimal risk to a patient. Specifically, alendronate is shown to block the bone calcium mobilization activity of both 1,25-(OH) 2 D 3 and its very potent analog, 2-methylene-19-nor-20(S)-1α,25-dihydroxyvitamin D 3 .

L15 ANSWER 5 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:317460 MARPAT
TITLE: Agent inhibiting expression of general transcription factor with interactive relation to steroid hormone receptor as treating agent for Paget's disease of bone

INVENTOR(S): Ishizuka, Seiichi; Takenouchi, Kazuya; Imaizumi, Atsushi; Oue, Yasuhiro; Kurihara, Noriyoshi; Reddy, Sakamuri V.; Roodman, G. David
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 79,890.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003191094	A1	20031009	US 2003-369752	20030221
PRIORITY APPLN. INFO.:			US 2002-79890	20020222

AB To obtain a treating agent for Paget's disease of bone, there is provided a method of inhibiting expression of general transcription factor of steroid hormone receptor. A method for screening a compound for treatment of Paget's disease of bone comprises detecting expression of TAFII-17, TAFII-135, and DRIP-205 transcription factors in mononuclear cells from bone marrow collected from patients with the disease. Compound (23S)-25-dehydro-1α-hydroxyvitamin D3-26,23-lactone suppressed expression of the gene for transcription factor TAFII-17 in bone marrow mononuclear cells from patients with Paget's disease of bone. The compound also suppressed osteoclast formation.

L15 ANSWER 6 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:271459 MARPAT
TITLE: Use of carbon-2-modified-vitamin D analogs to induce the formation of new bone
INVENTOR(S): Deluca, Hector F.; Pike, J. Wesley; Shevde, Nirupama K.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082300	A1	20031009	WO 2003-US7443	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195175	A1	20031016	US 2002-105826	20020325
CA 2480125	AA	20031009	CA 2003-2480125	20030312
AU 2003220169	A1	20031013	AU 2003-220169	20030312

EP 1494680	A1	20050112	EP 2003-716465	20030312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008701	A	20050118	BR 2003-8701	20030312
JP 2005527558	T2	20050915	JP 2003-579837	20030312
US 2005203071	A1	20050915	US 2005-509065	20050505
PRIORITY APPLN. INFO.:			US 2002-105826	20020325
			WO 2003-US7443	20030312

AB It has been discovered that the 2-carbon-modified derivs. of 1α,25-dihydroxyvitamin D3 specifically stimulate osteoblasts to form new bone. The ability of the 2-carbon-modified vitamin D analogs to stimulate new bone formation suggest that these compds. can be used where synthesis of new bone is required. Thus, these compds. can be used either systemically or locally to stimulate the growth of bone transplants, to increase the rate of fracture healing and thereby reduce the time required for the healing of fractures, the stimulation of bone growth when required for replacement surgery, and also for the growth of bone to implants or other devices required to maintain the skeleton or teeth in the proper positions.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:240380 MARPAT
TITLE: Compound inhibiting expression of general transcription factor of steroid hormone receptor for treatment of Paget's disease of bone
INVENTOR(S): Ishizuka, Seiichi; Takenouchi, Kazuya; Imaizumi, Atsushi; Oue, Yasuhiro; Kurihara, Noriyoshi; Reddy, Sakamuri V.; Roodman, David G.
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1342796	A2	20030910	EP 2003-251072	20030221
EP 1342796	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-79890	20020222

AB To obtain a treating agent for Paget's disease of bone, there is provided a method of inhibiting expression of general transcription factor of steroid hormone receptor. Expression of the gene for the transcription factor TAFII-17 in bone marrow mononuclear cells from patients with Paget's disease was suppressed with (23S)-25-dehydro-1-hydroxyvitamin D3-26,23-lactone (I). I suppressed the gene expression even in the presence of 1α,25-dihydroxyvitamin D3 which induces its expression. The TAFII-17 gene was not expressed in bone marrow cells from normal adults. I also suppressed osteoclast formation induced by 1α,25-dihydroxyvitamin D3.

L15 ANSWER 8 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:401957 MARPAT

TITLE: Method for producing vitamin D derivatives with acyloxy groups at the 24-position of the side chain thereof in production of medicaments
INVENTOR(S): Steinmeyer, Andreas; Zuegel, Ulrich
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042171	A1	20030522	WO 2002-EP11805	20021022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10156596	A1	20030528	DE 2001-10156596	20011113
US 2003166622	A1	20030904	US 2002-292908	20021113
PRIORITY APPLN. INFO.:			DE 2001-10156596	20011113
			US 2001-331386P	20011115

OTHER SOURCE(S): CASREACT 138:401957
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel vitamin D derivs., e.g., I [R1 = R2 = H; R1R2 = CH2; R3, R4 = H, F, Cl, C1-4-alkyl, ; R3R4 = CH2; A = C(X)R5, C(X)NHR5, C(X)N(R5)2, P(O)(OR5)2, SO2R5; X = O, S; R5 = straight or branched, (un)saturated C1-10-alkyl (may contain 1 - 3 OH's), CO2R12, CONR10R11, P(O)(OR10)2, SO3R10, SO2NR10R11, NR10R11; R10, R11 = H, straight or branched, (un)saturated C1-10-alkyl, (un)substituted C5-12-aryl, -heteroaryl, Ph, CH2Ph, 2-, 3-, 4-pyridyl; Y1, Y2 = H, C(O)R6; R6 = (un)substituted C5-12-aryl, -heteroaryl, straight or branched, (un)saturated C1-12-alkyl; Z = straight or branched, (un)saturated C2-12-oxoalkyl, 1-oxo-(C3-7)-cycloalkyl, COPh, 2-pyridylcarbonyl, CN, CO2R7, C(O)SR7, CONHR7, CONR7R8; R7, R8 = H, (un)saturated C1-8-alkyl, C3-8-cycloalkyl, (un)saturated C1-12-alkyl, etc.; R9 = C1-6-alkyl, CH2Ph, Ph; dashed line = single or double bond], to a method for the production thereof and to the use thereof in the production of medicaments. The procedure for the preparation of I is characterized by reaction of I (A = H) with Hal-A (Hal = Cl, Br) or A2O. Thus, II (R = COCMe3) was prepared from (5Z,7E,1S,3R)-1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-25-(5-butyloxazol-2-yl)-26,27-cyclo-9,10-secocholesta-5,7,10(19)-trien-24-ol (II; R' = H) in pyridine via reaction with pivaloyl chloride and catalytic DMAP followed by desilylation with hydrogen fluoride-pyridine complex in THF and separation of diastereomers. The biol. activity of II (R = COCMe3) was determined [competition factor KF

>100; dose relation DR > 170 (HL-60 cells); DR > 1000 (hypercalcemia); inactive].
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:401925 MARPAT
TITLE: Preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 370,966, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6392071	B1	20020521	US 2000-540686	20000331
US 5843928	A	19981201	US 1997-819693	19970317
US 5936133	A	19990810	US 1998-151113	19980910
CA 2404548	AA	20011011	CA 2001-2404548	20010329
WO 2001074766	A1	20011011	WO 2001-US10317	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268416	A1	20030102	EP 2001-920897	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529581	T2	20031007	JP 2001-572461	20010329
NZ 522160	A	20041126	NZ 2001-522160	20010329
US 2002087015	A1	20020704	US 2001-1711	20011031
US 6537981	B2	20030325		
US 2003181427	A1	20030925	US 2003-352745	20030128
US 6696431	B2	20040224		
US 2004167104	A1	20040826	US 2004-780103	20040217
PRIORITY APPLN. INFO.:			US 1997-819693	19970317
			US 1998-151113	19980910
			US 1999-370966	19990810
			US 2000-540686	20000331
			WO 2001-US10317	20010329
			US 2001-1711	20011031
			US 2003-352745	20030128

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R6, R8 = alkyl, hydroxyalkyl, fluoroalkyl, etc., or when taken together represent the group -(CH2)x- where x is an integer from 2 to 5; R = any of the typical side chains known for vitamin D type compds.] are prepared These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. Thus, 20(S)-1α,25-dihydroxy-2-methylene-26,27-dihomo-19-nor-vitamin D3 (II) was prepared via a multistep synthetic sequence starting from 20(S)-25-hydroxy Grundmann's ketone analog III and phosphine oxide IV. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in vitamin D-deficient rats on a low calcium diet responding to chronic doses of II at 15 pmol/day/7 days were 4.0 ± 0.4 S/M and 5.3 ± 0.1 S/M resp. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 32 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:194682 MARPAT

TITLE: Use of vitamin D derivatives as bone resorption inhibitors

INVENTOR(S): Ishizuka, Seiichi; Takenouchi, Kazuya; Imaizumi, Atsushi; Oue, Yasuhiro; Kurihara, Noriyoshi; Reddy, Sakamuri V.; Roodman, G. David

PATENT ASSIGNEE(S): Teijin Limited, Japan; The University of Texas Health Science Center at San Antonio

SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002015894	A2	20020228	WO 2001-US22614	20010822
WO 2002015894	A3	20020829		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2002069003	A2	20020308	JP 2000-252177	20000823
CA 2420274	AA	20020228	CA 2001-2420274	20010822

AU 2001084657	A5	20020304	AU 2001-84657	20010822
EP 1311253	A2	20030521	EP 2001-963731	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 524305	A	20040827	NZ 2001-524305	20010822
JP 2004528269	T2	20040916	JP 2002-520815	20010822
NO 2003000820	A	20030422	NO 2003-820	20030221
US 2004019024	A1	20040129	US 2003-362565	20030804
PRIORITY APPLN. INFO.:			JP 2000-252177	20000823
			WO 2001-US22614	20010822

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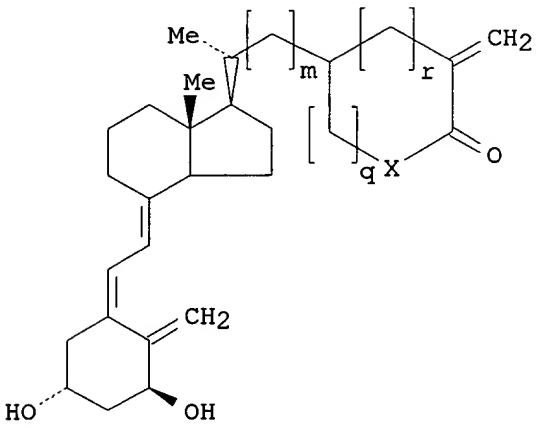

I

AB To obtain a bone resorption inhibitor or a treating agent for Paget's disease of bone, there are provided a method of inhibiting bone resorption, comprising administering to a patient a vitamin D antagonist; and a method for treating Paget's disease of bone, comprising administering to a patient a vitamin D antagonist. An example is given showing osteoclast formation suppression activity of I on the osteoclast formation induced by 1α,25-dihydroxyvitamin D3 from bone marrow cells of normal persons.

L15 ANSWER 11 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:358086 MARPAT
TITLE: Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 454,013.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6316642	B1	20011113	US 2000-541470	20000331
US 5945410	A	19990831	US 1997-819694	19970317
US 6127559	A	20001003	US 1998-135463	19980817

US 6277837	B1	20010821	US 1999-454013	19991203
CA 2403232	AA	20011011	CA 2001-2403232	20010329
WO 2001074765	A1	20011011	WO 2001-US10094	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268415	A1	20030102	EP 2001-920863	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004500414	T2	20040108	JP 2001-572460	20010329
NZ 521236	A	20051028	NZ 2001-521236	20010329
US 2002123638	A1	20020905	US 2001-999299	20011031
US 6544969	B2	20030408		
US 2003073857	A1	20030417	US 2002-246968	20020919
US 6667298	B2	20031223		
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		
US 2004082802	A1	20040429	US 2003-683330	20031010
PRIORITY APPLN. INFO.:			US 1997-819694	19970317
			US 1998-135463	19980817
			US 1999-454013	19991203
			US 2000-541470	20000331
			WO 2001-US10094	20010329
			US 2001-45941	20011019
			US 2001-999299	20011031
			US 2002-246968	20020919

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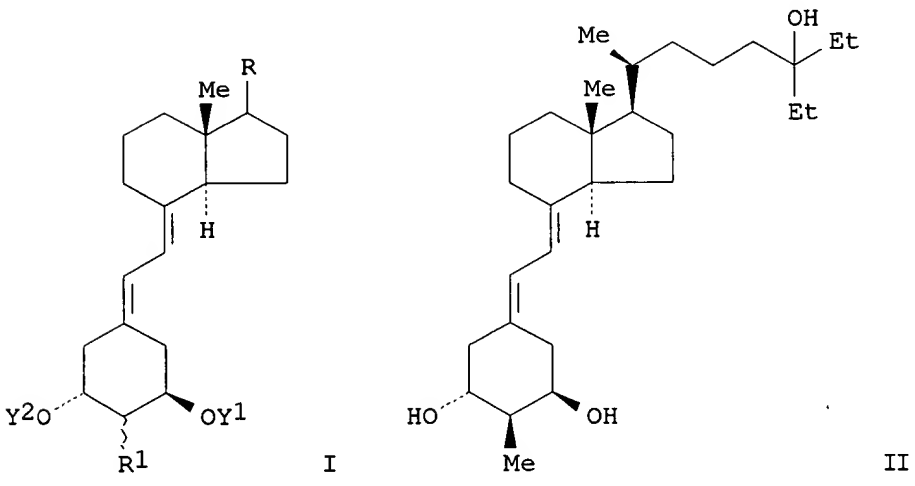

AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R = typical side chains known for vitamin D type compds.; R1 =

alkyl, hydroxyalkyl, fluoroalkyl] are prepared These 2-substituted compds., especially the 2α-Me and the 2α-methyl-20S derivs., are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II was prepared and showed preferential activity on bone in biol. activity tests.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 12 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:304063 MARPAT
TITLE: Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074765	A1	20011011	WO 2001-US10094	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6316642	B1	20011113	US 2000-541470	20000331
CA 2403232	AA	20011011	CA 2001-2403232	20010329
EP 1268415	A1	20030102	EP 2001-920863	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004500414	T2	20040108	JP 2001-572460	20010329
NZ 521236	A	20051028	NZ 2001-521236	20010329
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		

PRIORITY APPLN. INFO.:

US 2000-541470	20000331
US 1997-819694	19970317
US 1998-135463	19980817
US 1999-454013	19991203
WO 2001-US10094	20010329
US 2001-45941	20011019

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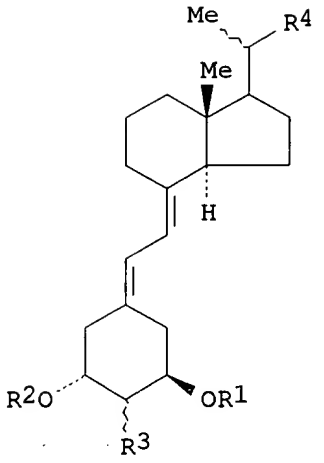

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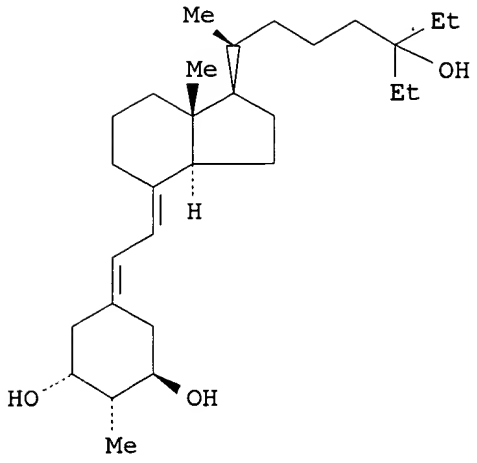

II

AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = alkyl, hydroxyalkyl, fluoroalkyl; R4 = H, Me, acyl, OH, any of the typical side chains known for vitamin D type compds., etc.] are prepared These compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepared and had a VDR binding ratio of 5.5, and HL-60 differentiation ED50 of 1.1 x 10-10 M.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 13 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:288953 MARPAT
TITLE: Preparation of 2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074766	A1	20011011	WO 2001-US10317	20010329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6392071	B1	20020521	US 2000-540686	20000331
CA 2404548	AA	20011011	CA 2001-2404548	20010329
EP 1268416	A1	20030102	EP 2001-920897	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529581	T2	20031007	JP 2001-572461	20010329
NZ 522160	A	20041126	NZ 2001-522160	20010329

PRIORITY APPLN. INFO.:

US 2000-540686	20000331
US 1997-819693	19970317
US 1998-151113	19980910
US 1999-370966	19990810
WO 2001-US10317	20010329

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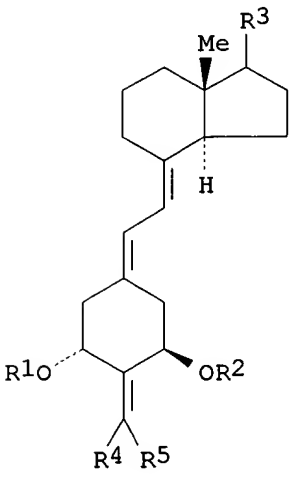
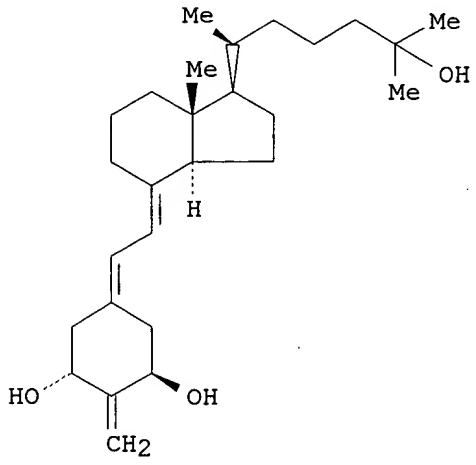


I



II

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = typical side chains known for vitamin D type compds.; R4, R5 = H, alkyl, hydroxyalkyl, fluoroalkyl, etc.; R4R5 = cycloalkylidene] are prepared These 2-substituted compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepared and is found to be extremely potent in inducing differentiation of HL-60 cells.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 32 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 135:221810 MARPAT
TITLE: Treatment of T-cell immunodeficiencies with vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Yang, Shouli; Prahl, Jean M.; Smith, Connie M.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 15 pp., Cont.-in-part of U.S. Ser. No. 159,616, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291444	B1	20010918	US 2000-603041	20000626
US 5880114	A	19990309	US 1996-648898	19961112
PRIORITY APPLN. INFO.:			US 1993-78555	19930616
			US 1995-413915	19950330
			US 1996-648898	19961112
			US 1998-159616	19980924

AB A method for treating a T-cell immunodeficiency in a mammal by administering an amount of a vitamin D compound, such as vitamin D3, its active form 1α,25-dihydroxyvitamin D3 or other compds. exhibiting vitamin D-like activity, to the mammal for a sufficient period of time to improve or restore the immunity of the mammal.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 15 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 130:296893 MARPAT
TITLE: Preparation of novel vitamin D derivatives with cyclopropyl ring in the lateral chains and their pharmaceutical uses
INVENTOR(S): Steinmeyer, Andreas; Neef, Gunter; Kirsch, Gerald; Schwarz, Katica; Wiesinger, Herbert; Haberey, Martin; Fahnrich, Marianne; Langer, Gernot
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916745	A1	19990408	WO 1998-EP6159	19980929
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT; BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19744127	A1	19990415	DE 1997-19744127	19971001

CA 2305140	AA	19990408	CA 1998-2305140	19980929
AU 9911476	A1	19990423	AU 1999-11476	19980929
AU 750011	B2	20020711		
EP 1025082	A1	20000809	EP 1998-954292	19980929
EP 1025082	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001518462	T2	20011016	JP 2000-513831	19980929
AT 238987	E	20030515	AT 1998-954292	19980929
PT 1025082	T	20030930	PT 1998-954292	19980929
ES 2199472	T3	20040216	ES 1998-954292	19980929
US 2003018194	A1	20030123	US 2002-214166	20020808
US 2005227951	A1	20051013	US 2005-141060	20050601
PRIORITY APPLN. INFO.:			DE 1997-19744127	19971001
			WO 1998-EP6159	19980929
			US 2000-509934	20000503

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Y1 = H, OH, F, Cl, Br, hydrocarbylcarbonyloxy; Y2 = H, hydrocarbylcarbonyl; R1, R2 = H, or R1R2 = CH2; R3, R4 = H, Cl, F, alkyl, or R3R4 = CH2, or R3R4C = carbocyclic ring; VW = bond, or V = OH and W = H; Q = hydrocarbyl optionally possessing OH which may be etherified or esterified, CO, NH2, halo; Z = hydrocarbyl optionally possessing CO, OH which may be etherified or esterified, NH2, F, Cl, Br], useful for treating disorders such as calcium absorption disorders, hyperproliferative skin disorders, pruritus, tumors, immunol. disorders, inflammation, rheumatoid arthritis, asthma, autoimmune diseases, multiple sclerosis, diabetes mellitus, AIDS, as well as rejection in organ transplantation, are prepared Thus, sulfone II (also prepared) was reacted with III (also prepared) in THF containing diisopropylamine and BuLi to give, after elimination reaction and deprotection, the title compound IV. This had an affinity to the calcitriol receptor comparable to that of calcitriol.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 32 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	130:191880 MARPAT
TITLE:	Treatment of immune deficiency with vitamin D compounds
INVENTOR(S):	Deluca, Hector F.; Yang, Shouli; Prahl, Jean M.; Smith, Connie M.
PATENT ASSIGNEE(S):	Wisconsin Alumni Research Foundation, USA
SOURCE:	U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 413,915, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	3
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 5880114	A	19990309	US 1996-648898	19961112
US 6291444	B1	20010918	US 2000-603041	20000626
PRIORITY APPLN. INFO.:			US 1993-78555	19930616
			US 1995-413915	19950330
			US 1996-648898	19961112
			US 1998-159616	19980924

AB A method for treating immune deficiency in a mammal involves administering an amount of a vitamin D compound, e.g. Vitamin D3, its active form 1α,25-dihydroxyvitamin D3, or other compds. exhibiting vitamin D-like activity, to the mammal for a sufficient period to improve or restore the immunity of the mammal.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 17 OF 32 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 130:20591 MARPAT
TITLE: Vitamin D analogs and their neuronal effects
INVENTOR(S): Carswell, Susan; Dobrzanski, Pawel; Binderup, Lise; Bjorkling, Fredrik; Miller, Matthew S.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852574	A1	19981126	WO 1998-US10480	19980521
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2290772	AA	19981126	CA 1998-2290772	19980521
AU 9876917	A1	19981211	AU 1998-76917	19980521
AU 728037	B2	20010104		
EP 1011683	A1	20000628	EP 1998-924840	19980521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6207656	B1	20010327	US 1998-82762	19980521
JP 2002513416	T2	20020508	JP 1998-550666	19980521
NO 9905683	A	20000119	NO 1999-5683	19991119
PRIORITY APPLN. INFO.:			US 1997-47391P	19970522
			US 1998-82762	19980521
			WO 1998-US10480	19980521

AB The present invention is directed, inter alia, to methods of utilizing low calcemic vitamin D analogs. Particularly, the present invention is directed to using low calcemic vitamin D analogs to treat neurodegenerative diseases and disorders, to facilitate endogenous production of neurotrophic factors, to inhibit the degradation, dysfunction or
loss of neural cells and/or to enhance the phenotype of neural cells

or neuronal processes. Orally administered 1(S),3(R)-dihydroxy-20(R)-(1-ethoxy-5-ethyl-5-hydroxy-2-heptyn-1-yl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (I) (0.3. 1.0, and 3.0 μg/kg/day) prevented the development of acrylamide-induced peripheral neuropathy in rats. Examples of preparation of tablet, capsule, and injection formulations of I are also presented.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 18 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:245333 MARPAT
TITLE: Preparation of 2-alkylidene-19-nor-vitamin D compounds
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841501	A1	19980924	WO 1998-US2976	19980211
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843928	A	19981201	US 1997-819693	19970317
CA 2283829	AA	19980924	CA 1998-2283829	19980211
AU 9862801	A1	19981012	AU 1998-62801	19980211
AU 714253	B2	19991223		
EP 970047	A1	20000112	EP 1998-905102	19980211
EP 970047	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 337503	A	20000929	NZ 1998-337503	19980211
JP 2001504135	T2	20010327	JP 1998-540501	19980211
AT 223890	E	20020915	AT 1998-905102	19980211
ES 2179451	T3	20030116	ES 1998-905102	19980211
PT 970047	T	20030131	PT 1998-905102	19980211
NO 9904398	A	19990910	NO 1999-4398	19990910
PRIORITY APPLN. INFO.:			US 1997-819693	19970317
			WO 1998-US2976	19980211

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Y1, Y2 = H, protecting group; R6, R8 = H, alkyl,

hydroxyalkyl, fluoroalkyl, or R6R8 = (CH2)x; x = 2-5 integer; R = any of the typical side chains known for vitamin D type compds., e.g. Q] are prepared Thus, 1α,25-dihydroxy-2-methylene-19-norvitamin D3 (II) was prepared in 11 steps from (-)-quinic acid via tert-butyldimethylsilyl protection of the OH groups at the 3 and 5 positions, converting to protected quinic acid Me ester, oxidation of the 4-OH, methylenation using methyltriphenylphosphonium bromide, hydride reduction, NaIO4 oxidation, condensation of 3,5-bis(tert-butyldimethylsilyloxy)-4-methylenecyclohexanone with Me3SiCH2-COOMe, DIBAL reduction, reaction with Ph2PH, H2O2 oxidation, condensation with perhydroindanone III in the presence of BuLi, and deprotection. These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in rats responding to chronic doses of II at 130 pmol/day/7 days were 5.3±0.4 S/M and 9.9±0.2 mg/100 Ml, resp., vs. 6.2±0.4 S/M and 7.2±0.5 mg/100 mL, resp., for 1,25-(OH)2D3. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 19 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:245332 MARPAT
TITLE: Preparation of 2-alkyl-19-nor-vitamin D compounds and their biological activities
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841500	A1	19980924	WO 1998-US2975	19980211
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5945410	A	19990831	US 1997-819694	19970317
CA 2272745	AA	19980924	CA 1998-2272745	19980211
CA 2272745	C	20051206		
AU 9862800	A1	19981012	AU 1998-62800	19980211
AU 714390	B2	19991223		
EP 971888	A1	20000119	EP 1998-905101	19980211
EP 971888	B1	20031029		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

BR 9808010	A	20000308	BR 1998-8010	19980211
NZ 337262	A	20000929	NZ 1998-337262	19980211
JP 2000513010	T2	20001003	JP 1998-540500	19980211
AT 253046	E	20031115	AT 1998-905101	19980211
PT 971888	T	20040331	PT 1998-905101	19980211
ES 2206893	T3	20040516	ES 1998-905101	19980211
NO 9904489	A	19990916	NO 1999-4489	19990916
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		
PRIORITY APPLN. INFO.:			US 1997-819694	19970317
			WO 1998-US2975	19980211
			US 2001-45941	20011019

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Y1, Y2 = H, protecting group; R6 = alkyl, hydroxyalkyl, fluoroalkyl, etc.; R = any of the typical side chains known for vitamin D type compds., e.g. Q] are prepared Thus, 1α,25-dihydroxy-2α- and 1α,25-dihydroxy-2β-methyl-19-norvitamin D3 (II) were prepared in 11 steps from (-)-quinic acid via tert-butyldimethylsilyl protection of the OH groups at positions 3 and 5, converting to protected quinic acid Me ester, oxidation of the 4-OH, methylenation using methyltriphenylphosphonium bromide, hydride reduction, NaIO4 oxidation, condensation of the resulting 3,5-bis(tert-butyldimethylsilyloxy)-4-methylcyclohexanone with Me3SiCH2COOMe, DIBAL reduction, reaction with Ph2PH, oxidation, condensation with perhydroindanone III in the presence of BuLi, and deprotection. These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in rats responding to chronic doses of II (both epimers) at 130 pmol/day/7 days were 5.0±0.3 S/M and 6.1±0.1 mg/100 mL, resp., vs. 6.2±0.4 S/M and 7.2±0.5 mg/100 mL, resp., for 1,25-(OH)2D3. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 20 OF 32 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	128:18687 MARPAT
TITLE:	Phosphoethanolamine conjugates of vitamin D compounds
INVENTOR(S):	Peterson, Andrew C.; Yazdi, Parvin T.
PATENT ASSIGNEE(S):	Clarion Pharmaceuticals Inc., USA
SOURCE:	U.S., 15 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5691328	A	19971125	US 1996-703447	19960827
WO 9926953	A1	19990603	WO 1997-US21931	19971124
W: AU, CA, JP, KR, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9854631	A1	19990615	AU 1998-54631	19971124
EP 1034178	A1	20000913	EP 1997-948592	19971124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			US 1996-703447	19960827
			WO 1997-US21931	19971124

AB Certain phosphoethanolamine conjugates of vitamin D compds. are disclosed wherein the phosphoethanolamine moiety is bonded at the 3-position of the vitamin D moiety. The conjugates exhibit antitumor, antipsoriatic, and antiinflammatory activities in addition to those activities associated with vitamin D. Thus, reaction of 4-chloro-2-oxo-1,3,2-dioxaphospholane with (5Z,7E)-(3S)-9,10-secocholesta-5,7,10(19)-trien-2-ol at room temperature for 4 days in anhydrous PhMe under N2, followed by heating with CH3CN in NMe3, produced (5Z,7E)-(3S)-9,10-secocholesta-5,7,10(19)-triene-3-phosphocholine (CPR 2005). CPR 2005 inhibited growth of human breast carcinoma cells in vitro at >3 μM. Tablets were prepared, each containing CPR 2005 50-100, lactose 70, corn starch 70, PVP 5, and Mg stearate 5 mg.

L15 ANSWER 21 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:86121 MARPAT
TITLE: Pharmaceutical compositions containing vitamin D analog clathrates with cyclodextrins for treatment of psoriasis
INVENTOR(S): Hoffmann, Karin; Riedl, Jutta
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 6 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19549243	A1	19970626	DE 1995-19549243	19951221
CA 2241205	AA	19970703	CA 1996-2241205	19961220
WO 9723242	A1	19970703	WO 1996-EP5856	19961220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9713069	A1	19970717	AU 1997-13069	19961220
EP 869819	A1	19981014	EP 1996-944669	19961220

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

CN 1207687	A	19990210	CN 1996-199734	19961220
JP 2000502733	T2	20000307	JP 1997-523335	19961220
NO 9802874	A	19980820	NO 1998-2874	19980619
PRIORITY APPLN. INFO.:			DE 1995-19549243	19951221
			WO 1996-EP5856	19961220

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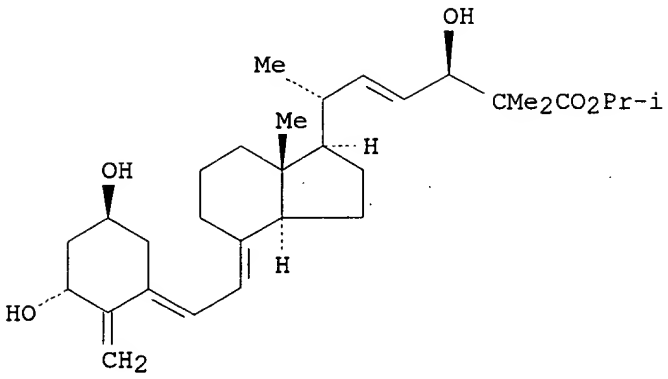

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AB Compns. containing a vitamin D analog (I; R1-R3 = H, HCO, C3-9 alkanoyl, aroyl; R4, R4a = H, Cl, F, CF3, C1-4 saturated or unsatd. hydrocarbyl, or R4CR4a = C3-7 cycloalkyl) cyclodextrin clathrate are useful especially for topical, but also for oral and systemic application for treatment of psoriasis. These clathrates show high bioavailability and delayed release of the active agent without major systemic side effects. Thus, an aqueous solution of dimethyl-β-cyclodextrin was combined with a solution of iso-Pr (5Z,7E,22E)-(1S,3R,24R)-1,3,24-trihydroxy-9,10-secocholesta-5,7,10(19),22-tetraene-25-carboxylate in dioxane and freeze dried for reconstitution with water.

L15 ANSWER 22 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:131696 MARPAT
TITLE: Novel vitamin D derivatives with C-25 substituents for use as antiproliferative agents
INVENTOR(S): Kirsch, Gerald; Steinmeyer, Andreas; Neef, Guenter; Schwarz, Katica; Thieroff-Ekerdt, Ruth; Wiesinger, Herbert; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700242	A1	19970103	WO 1996-EP1788	19960430

W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

CA 2224440	AA	19970103	CA 1996-2224440	19960430
AU 9656930	A1	19970115	AU 1996-56930	19960430
AU 707942	B2	19990722		
EP 832063	A1	19980401	EP 1996-915001	19960430
EP 832063	B1	20000223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11507649	T2	19990706	JP 1996-502535	19960430
AT 189888	E	20000315	AT 1996-915001	19960430
ES 2144239	T3	20000601	ES 1996-915001	19960430
PT 832063	T	20000630	PT 1996-915001	19960430
CZ 291915	B6	20030618	CZ 1997-4031	19960430
IL 118366	A1	20041215	IL 1996-118366	19960522
ZA 9605098	A	19970122	ZA 1996-5098	19960614
NO 9705852	A	19980216	NO 1997-5852	19971212
NO 317059	B1	20040802		
US 6372731	B1	20020416	US 1998-981819	19980331
GR 3033459	T3	20000929	GR 2000-401148	20000519
US 6376480	B1	20020423	US 2000-738286	20001218
PRIORITY APPLN. INFO.:			DE 1995-19522797	19950614
			WO 1996-EP1788	19960430
			US 1998-981819	19980331

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Vitamin D derivs. I [Y1 = OH, acyloxy; Y2 = H, Acyl; R1R2 = H2, CH2; R3, R4 = H, Cl, F, alkyl; R3R4 = CH2, alkylene; AB = O; A = OH, acyloxy, B = H; A = H, B = OH, acyloxy; R5, R6 = H, Cl, F, CF3, alkyl; R5R6 = (un)substituted alkylene] were prepared Thus, I [Y1 = OH, Y2 = H, R1R2 = CH2, R3 = H, R4 = Me, A = OH, B = H, R5R6 = CH2CH2, Z = Ac] was obtained from the acid II in 4 steps. This compound had twice the cell differentiating activity of calcitriol.

L15 ANSWER 23 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:314932 MARPAT
TITLE: Preparation of vitamin D C-25 carboxylates as drugs
INVENTOR(S): Steinmeyer, Andreas; Kirsch, Gerald; Neef, Guenter; Schwarz, Katica; Thieroff-Ekerdt, Ruth; Wiesinger, Herbert; Haberey, Martin
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407853	A1	19940414	WO 1993-EP2814	19931006
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4234382	A1	19940407	DE 1992-4234382	19921006
DE 4317415	A1	19941124	DE 1993-4317415	19930518

EP 663902	A1	19950726	EP 1993-922944	19931006
EP 663902	B1	19980311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501784	T2	19960227	JP 1994-508736	19931006
JP 3565847	B2	20040915		
AU 671313	B2	19960822	AU 1993-51771	19931006
AU 9351771	A1	19940426		
PL 175636	B1	19990129	PL 1993-308260	19931006
SK 280651	B6	20000516	SK 1995-458	19931006
FI 9501614	A	19950405	FI 1995-1614	19950405
FI 109996	B1	20021115		
NO 9501318	A	19950602	NO 1995-1318	19950405
NO 309599	B1	20010226		
PRIORITY APPLN. INFO.:			DE 1992-4234382	19921006
			DE 1993-4317415	19930518
			WO 1993-EP2814	19931006

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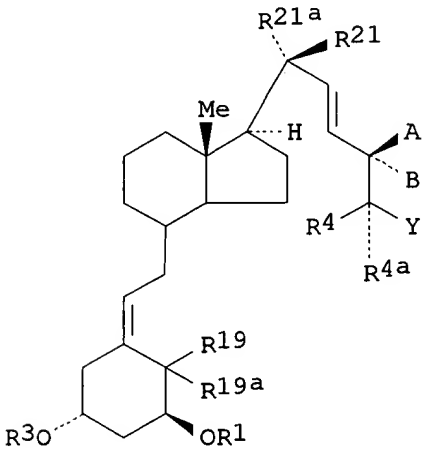

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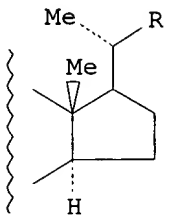

II

AB Title compds. (I; A, B = OR24, H; R1, R3 = H, alkanoyl, aroyl; R4, R4a = H, Cl, F, CF3, hydrocarbyl; R4R4a = atoms to form a carbocyclic ring; R19, R19a = H; R19R19a = CH2; R21, R21a = H, Cl, F, alkyl; R21R21a = CH2, atoms to form a carbocyclic ring; R24 = H, alkanoyl, aroyl; Y = CONR5R5', CO2R6, COSR6, cyano; R5, R5' = H, alkyl; R6 = H, alkyl, hydrocarbyl, etc.) were prepared as immunomodulators, antihyperproliferatives, etc. Thus, aldehyde II (R1 = R3 = SiMe2CMe3, R7R8 = CH2, R19 = R19a = H)(III; R = CHO) was condensed with Ph3P:CHCON(OME)Me and the product treated with Dibal to give III [R = (E)-CH:CHCHO] which was condensed with Me2CHCO2Pr to give, after irradiation and deprotection, II [R = (E,R)-CH:CHCH(OH)CMe2CO2Pr, R1 = R3 = R7 = R8 = H, R19R19a = CH2]. The latter gave differentiation of HL 60 cells to macrophage at 0.2 the dose (sic) required for calcitriol.

L15 ANSWER 24 OF 32 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	122:291321 MARPAT
TITLE:	Preparation of novel vitamin D analogs as drugs.
INVENTOR(S):	Grue-Soerensen, Gunner
PATENT ASSIGNEE(S):	Leo Pharmaceutical Products Lts. A/S, Den.
SOURCE:	PCT Int. Appl., 33 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502577	A1	19950126	WO 1994-DK271	19940701
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2162040	AA	19950126	CA 1994-2162040	19940701
AU 9471829	A1	19950213	AU 1994-71829	19940701
AU 690564	B2	19980430		
EP 708755	A1	19960501	EP 1994-920900	19940701
EP 708755	B1	19980422		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1125941	A	19960703	CN 1994-192588	19940701
CN 1048241	B	20000112		
JP 08512327	T2	19961224	JP 1994-504295	19940701
AT 165346	E	19980515	AT 1994-920900	19940701
ES 2117281	T3	19980801	ES 1994-920900	19940701
RU 2130926	C1	19990527	RU 1996-102611	19940701
US 5716945	A	19980210	US 1995-545762	19951107
FI 9506108	A	19951219	FI 1995-6108	19951219
FI 111719	B1	20030915		
PRIORITY APPLN. INFO.:			GB 1993-14400	19930712
			WO 1994-DK271	19940701

OTHER SOURCE(S): CASREACT 122:291321
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (I; X = H, OH; R1, R2 = H, hydrocarbyl; R1R2C = C3-8 carbocyclic ring; Q = single bond, C1-4 hydrocarbylene; R1, R2 and/or Q may be optionally substituted with ≥1 F atoms) and prodrugs thereof in which ≥1 of the OH groups are masked as groups which can be reconverted to OH groups in vivo, were prepared Thus, 1(S),3(R)-dihydroxy-20(R)-(5-ethyl-5-hydroxyhept-1(E)-en-3-yn-1-yl)-9,10-secopregna-5(Z),7(E),10(19)-triene, prepared from aldehyde 20(S)-(II), showed superior antiproliferative activity in U937 leukemia cells (score of 89, vs. 1 for calcipotriol and 1α,25(OH)2 D3 in the test of Binderup and Bramm) while showing reduced calciuric effect relative to 1α,25(OH)2 D3.

L15 ANSWER 25 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:178387 MARPAT
TITLE: Use of vitamin D3 analogs as immunostimulants
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07002675	A2	19950106	JP 1993-307379	19931112
PRIORITY APPLN. INFO.:			US 1993-78555	19930616

AB Oral administration of vitamin D derivs. at 0.1μg-2mg per day for 3 wks to 8 mos results in improvement of cellular immunity. The derivs. include vitamin D3, 1,25-dihydroxyvitamin D3, and 1α-hydroxyvitamin D3. Immunostimulating effects of the compds. were tested with mice.

L15 ANSWER 26 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:161040 MARPAT
TITLE: Preparation of vitamin D derivatives as drugs
INVENTOR(S): Yamada, Sachiko; Ishida, Hiromoto; Shiono, Manzo
PATENT ASSIGNEE(S): Kuraray Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06256302	A2	19940913	JP 1993-71217	19930304
JP 3588367	B2	20041110		
PRIORITY APPLN. INFO.:			JP 1993-71217	19930304

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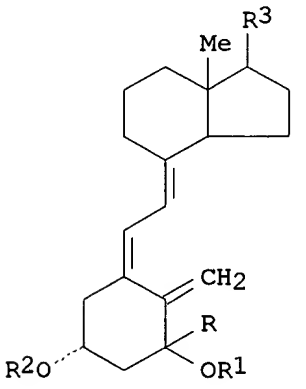

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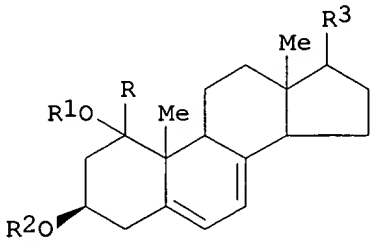

II

AB 1-Lower alkyl-vitamin D derivs. [I; R = lower alkyl; R1, R2 = H, HO-protective group; R3 = alkyl, alkenyl, or oxaalkyl each optionally substituted by (un)protected OH, halo. organic sulfonyloxy, arylsulfenyl, arylsulfonyl, oxo, or alkoxycarbonyl] and 1-lower alkyl-provitamin D derivs. (II; R - R3 = same as above) or diene adducts thereof which are intermediates of I are prepared I are useful for the treatment of diseases related to failure of calcium metabolism such as chronic kidney failure, lowered function of parathyroid gland, osteomalacia, and osteoporosis and diseases related to abnormal function of cell

differentiation such as skin diseases including psoriasis and malignant tumors including myeloid leukemia and breast cancer (no data). Thus, lithiation of 2,3-dimethylbutyl Ph sulfone with BuLi at -78° in hexane/THF followed by addition with (20S)-1,3β-diacetoxy-1,20-dimethylpregna-5,7-dien-21-al (preparation given) at -78° to 0° gave (20S)-1,3β-diacetoxy-1,24-dimethyl-23-phenylsulfonylcholesta-5,7-dien-22-ol which was reduced with 5% sodium amalgam in saturated solution of NaH2PO4 in MeOH to give (20R)-1,24-dimethylcholesta-5,7,2,2-triene-1,3β-diol. The latter compound (42.6 mg) was dissolved in 500 mL Et2O and irradiated under a high pressure Hg lamp (400 W) at 0° for 30 s to give 3.5 mg 1-hydroxy-1-methylvitamin D2.

L15 ANSWER 27 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:164013 MARPAT
TITLE: Hydroxy vitamin D3 compounds for treating skin atrophy
INVENTOR(S): Serup, Joergen Vedelskov
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd. A/S, Den.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414453	A1	19940707	WO 1993-DK426	19931217
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2150827	AA	19940707	CA 1993-2150827	19931217
AU 9458088	A1	19940719	AU 1994-58088	19931217
AU 678800	B2	19970612		
EP 675722	A1	19951011	EP 1994-903742	19931217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08504776	T2	19960521	JP 1993-514698	19931217
PRIORITY APPLN. INFO.:			GB 1992-26860	19921223
			WO 1993-DK426	19931217

AB The present invention relates to the use of certain vitamin D analogs in the preparation of a pharmaceutical preparation for the prevention and/or treatment of steroid induced skin atrophy. A cream was prepared containing MC 903 [1S,1'E,3R,5Z,7E,20R-9,10-seco-20-(3-cyclopropyl-3-hydroxyprop-1-enyl)-1,3-dihydroxypregna-5,7,10(19)-triene].

L15 ANSWER 28 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:134564 MARPAT
TITLE: Preparation of vitamin D 25-carboxylates as calcium metabolism modulators
INVENTOR(S): Kirsch, Gerald; Neef, Guenter; Steinmeyer, Andreas; Wiesinger, Herbert; Schwarz, Katica; Thieroff-Ekerdt, Ruth; Haberey, Martin
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4234382	A1	19940407	DE 1992-4234382	19921006
IL 107185	A1	19980222	IL 1993-107185	19931005
WO 9407853	A1	19940414	WO 1993-EP2814	19931006
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9307421	A	19940523	ZA 1993-7421	19931006
CN 1094034	A	19941026	CN 1993-114425	19931006
CN 1042026	B	19990210		
EP 663902	A1	19950726	EP 1993-922944	19931006
EP 663902	B1	19980311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 70562	A2	19951030	HU 1995-1002	19931006
HU 221588	B	20021128		
JP 08501784	T2	19960227	JP 1994-508736	19931006
JP 3565847	B2	20040915		
AU 671313	B2	19960822	AU 1993-51771	19931006
AU 9351771	A1	19940426		
US 5583125	A	19961210	US 1993-132176	19931006
AT 163923	E	19980315	AT 1993-922944	19931006
ES 2117150	T3	19980801	ES 1993-922944	19931006
PL 175636	B1	19990129	PL 1993-308260	19931006
CZ 284926	B6	19990414	CZ 1995-873	19931006
SK 280651	B6	20000516	SK 1995-458	19931006
FI 9501614	A	19950405	FI 1995-1614	19950405
FI 109996	B1	20021115		
NO 9501318	A	19950602	NO 1995-1318	19950405
NO 309599	B1	20010226		
PRIORITY APPLN. INFO.:			DE 1992-4234382	19921006
			DE 1993-4317415	19930518
			WO 1993-EP2814	19931006

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (I; R1,R3,R24 = H, alkanoyl, aroyl; R4,R4a = H, Cl, F, CF3, hydrocarbyl; CR4R4a = atoms to complete a carbocyclic ring; Y = CONR5R5a, CO2R6, cyano; R5,R5a,R6 = H, alkyl, etc.) were prepared Thus, aldehyde II was converted in 4 steps to Et (5Z,7E,22E)-(1S,3R,24R)-1,3,24-trihydroxy-9,10-secocholesta-5,7,10(19),22-tetraene-25-carboxylate for which biol. activity data were given.

L15 ANSWER 29 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 120:164651 MARPAT
TITLE: Preparation of vitamin D analogs as drugs
INVENTOR(S): Bretting, Claus Aage Svensgaard; Grue-Soerensen, Gunnar
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Den.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9319044	A1	19930930	WO 1993-DK105	19930323
W: AU, BB, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR				
AU 9338893	A1	19931021	AU 1993-38893	19930323
AU 660795	B2	19950706		
EP 633878	A1	19950118	EP 1993-907825	19930323
EP 633878	B1	19970129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07504903	T2	19950601	JP 1993-516187	19930323
JP 3553591	B2	20040811		
AT 148453	E	19970215	AT 1993-907825	19930323
ES 2098031	T3	19970416	ES 1993-907825	19930323
US 5446034	A	19950829	US 1994-211420	19940404
FI 9403099	A	19940628	FI 1994-3099	19940628
FI 106118	B1	20001130		
PRIORITY APPLN. INFO.:			GB 1992-6648	19920326
			WO 1993-DK105	19930323

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (X = H, HO, R1, R2 = H, C1-6 hydrocarbyl; R1R2C = C3-8 carbocylcyl; R3 = H, C1-0 hydrocarcarbyl, R4Y wherein Y = CO, CO2 COS, CS, CSO, CS2, SO, SO2 and R4 = H, C1-0 hydrocarbyl; Q = bond, C1-8 hydrocarbylene; R1, R2, R3 and/or Q may be substituted by 1 or more D or F) useful as antiinflammatory, immunomodulator and inducer of differentiation and inhibition. of undesirable proliferation of certain cells (no data), are prepared Al scales, HgCl2 and HC.tplbond.CCH2Br were heated at 40-50°, cooled and reacted with Et2CO to give HC.tplbond.CH2CEt2OH. This was treated with 3,4-dihydro-2H-pyran to give the protected alc. which was treated with the aldehyde II converted to the alc. and alkylated with EtBr to give the ethoxy derivative This was isomerized, and Bu4N+ F- was added followed by pyridinium p-tobuenesulfonate to give the deprotected title compound I (R = Et, Q = CH2, R1 = R2 = Et, Z = HO) II. Pharmaceutical formulations comprising II are given.

L15 ANSWER 30 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 119:28428 MARPAT
TITLE: Preparation of 24,28-methylene-1α-hydroxy- and -1α,25-dihydroxyvitamin D2 as intestinal calcium transport stimulators
INVENTOR(S): DeLuca, Hector F.; Nakagawa, Naoshi
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5194431	A	19930316	US 1992-910423	19920708
IL 106167	A1	19980816	IL 1993-106167	19930629
IL 120607	A1	19990922	IL 1993-120607	19930629
AU 9341817	A1	19940113	AU 1993-41817	19930707
AU 659745	B2	19950525		
JP 06072995	A2	19940315	JP 1993-191807	19930707
JP 3253425	B2	20020204		
EP 578494	A1	19940112	EP 1993-305347	19930708
EP 578494	B1	19950614		

R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE

PRIORITY APPLN. INFO.: US 1992-910423 19920708
IL 1993-106167 19930629

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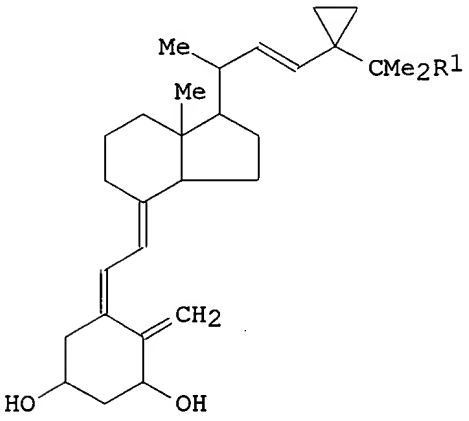

I

AB Title compds. (I; R1 = H, OH) were prepared Thus, 1-phenylsulfonylmethyl-1-(2-triethylsilyloxy-2-propyl)cyclopropane (preparation given) was condensed with 20S)-1α,3-β-bis(methoxycarbonyloxy)-20-methylpregna-5,7-dien-21-al and the product converted in 5 steps to I (R1 = OH) which gave intestinal Ca transport 0.78 that of 1α,25-dihydroxyvitamin D3 with much less activity in mobilizing bone Ca in rats at 195 pmol/day/7 days i.p.

L15 ANSWER 31 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 116:255875 MARPAT
TITLE: Preparation of vitamin D analogs as drugs
INVENTOR(S): Bretting, Claus Aage Svensgaard
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd. A/S, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9203414	A1	19920305	WO 1991-DK200	19910711
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MN, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2078555	AA	19920216	CA 1991-2078555	19910711
CA 2078555	C	20021126		
AU 9184223	A1	19920317	AU 1991-84223	19910711
AU 636510	B2	19930429		
EP 543864	A1	19930602	EP 1991-914384	19910711
EP 543864	B1	19941214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06500089	T2	19940106	JP 1991-513854	19910711
JP 3246914	B2	20020115		
ES 2068601	T3	19950416	ES 1991-914384	19910711
RU 2126384	C1	19990220	RU 1992-16313	19910711
CZ 286485	B6	20000412	CZ 1992-3726	19910711
US 5447924	A	19950905	US 1992-927420	19920922
FI 103791	B1	19990930	FI 1992-5547	19921207
SK 281443	B6	20010312	SK 1992-3726	19921217
LV 10089	B	19941020	LV 1993-243	19930215
LT 3666	B	19960125	LT 1993-965	19930910
PRIORITY APPLN. INFO.:			GB 1990-17890	19900815
			CS 1992-3726	19910711
			WO 1991-DK200	19910711

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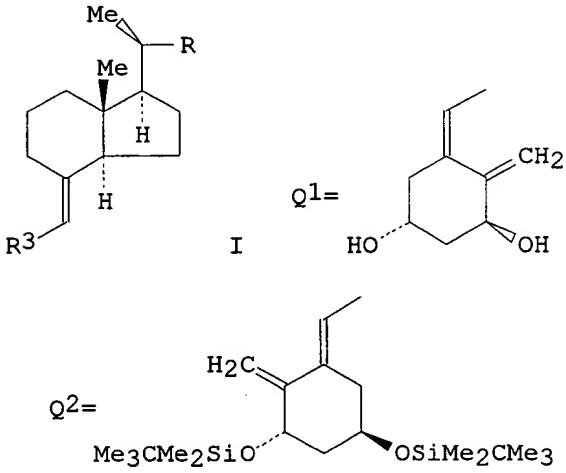

AB Title compds. [I; R = Z1C.tplbond.CZ2CR1R2X; R1, R2 = H, hydrocarbyl; or R1R2 = atoms to form a carbocyclic ring; R3 = cyclohexylidenemethylidyne group Q1; X = H, OH; Z1 = (substituted)(CH2)m; Z2 = bond, hydrocarbylenediyl; m = 0-2] were prepared as antiinflammatories, immunomodulators, etc. (no data). Thus, I (R = CHO, R3 = cyclohexylidenemethylidyne group Q2) was condensed

with (Me2N)3P:CCL2 (prepared in situ) and the product treated, in turn, with BuLi and Br(CH2)3CEt2OSiMe3 to give I [R = C.tplbond.C(CH2)3CEt2OSiMe3, R3 = Q2] which was photoisomerized to give, after deprotection, I [R = C.tplbond.C(CH2)3CEt2OH, R3 = Q1].

L15 ANSWER 32 OF 32 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 114:229231 MARPAT
TITLE: Preparation of 24-oxosteroid derivatives
INVENTOR(S): Takahashi, Takashi; Ando, Yoshinori; Sakane, Soichi; Nakagawa, Sunao; Shiono, Manzo
PATENT ASSIGNEE(S): Kuraray Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03014558	A2	19910123	JP 1989-147628	19890609

PRIORITY APPLN. INFO.: JP 1989-147628 19890609
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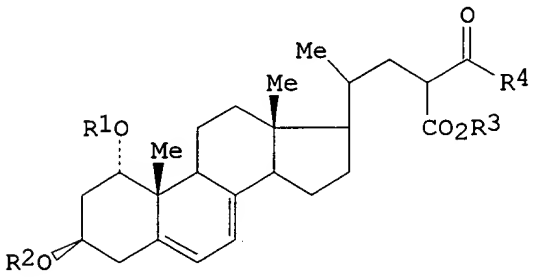

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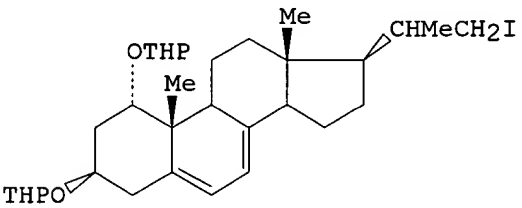


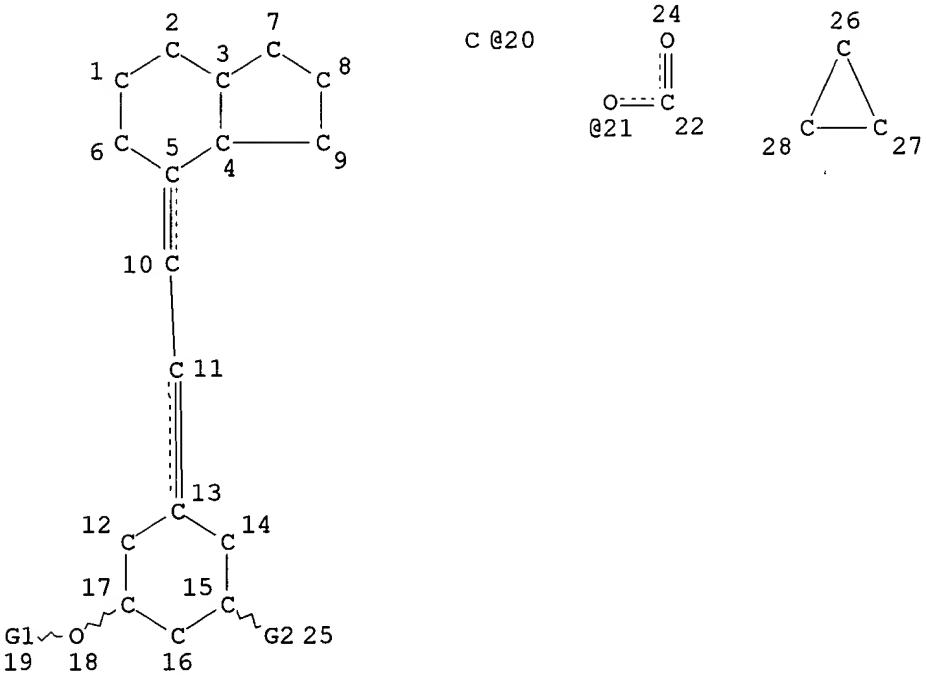
II

AB 24-Oxosteroids [I; R1,R2 = H, protecting group; R3 = alkyl, alkenyl, aralkyl, aryl; R4 = CX1X2X3 wherein X1 = H, (protected) OH, etc., X2,X3 = H, Me, (protected) hydroxymethyl, etc., X1X2 = CH2, CH2CH2], useful as intermediates for vitamin D3 derivs. in treating Ca metabolism deficiencies, are prepared A solution of 158.7 mg 60% NaH in DMF and 788 mg Me2CHCOCH2CO2CH2CH:CH2 in DMF was added to 1.38 g pregnadiene derivative II (THP = tetrahydro-2-pyranyl) in DMF and the solution was heated at 50° under N to give 1.90 g cholestadienone derivative I where R1 = R2 = THP, R3 = allyl, R4 = Me2CH.

FILE 'HOME' ENTERED AT 14:58:01 ON 22 MAR 2006

Searcher : Shears 571-272-2528

=> d que stat l4; d que stat l15; d his ful
L1 STR



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VAR G2=H/OH/F/CL/BR/21
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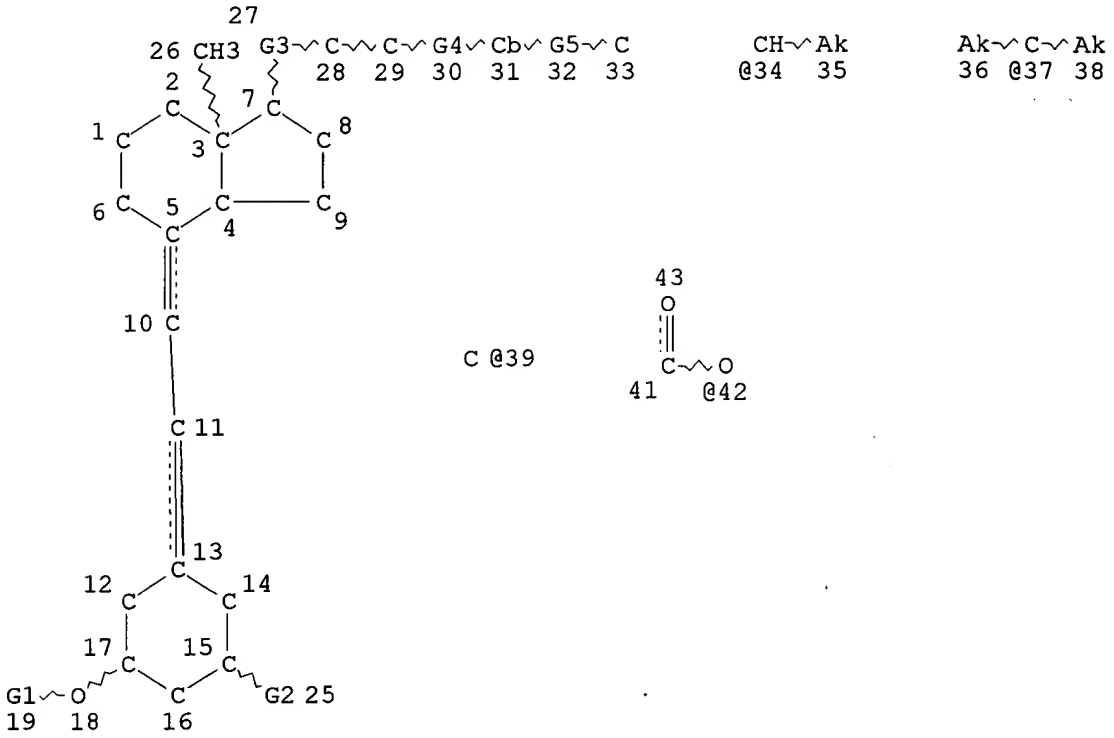
CONNECT IS X2 RC AT 1
CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
CONNECT IS X2 RC AT 9
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L2 (964)SEA FILE=REGISTRY SSS FUL L1
L3 STR



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VAR G3=CH2/34/37
REP G4=(0-10) C
REP G5=(0-11) C
NODE ATTRIBUTES:
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CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
CONNECT IS X2 RC AT 9
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 31
GGCAT IS LOC AT 36
GGCAT IS LOC AT 38
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E3 C AT 31

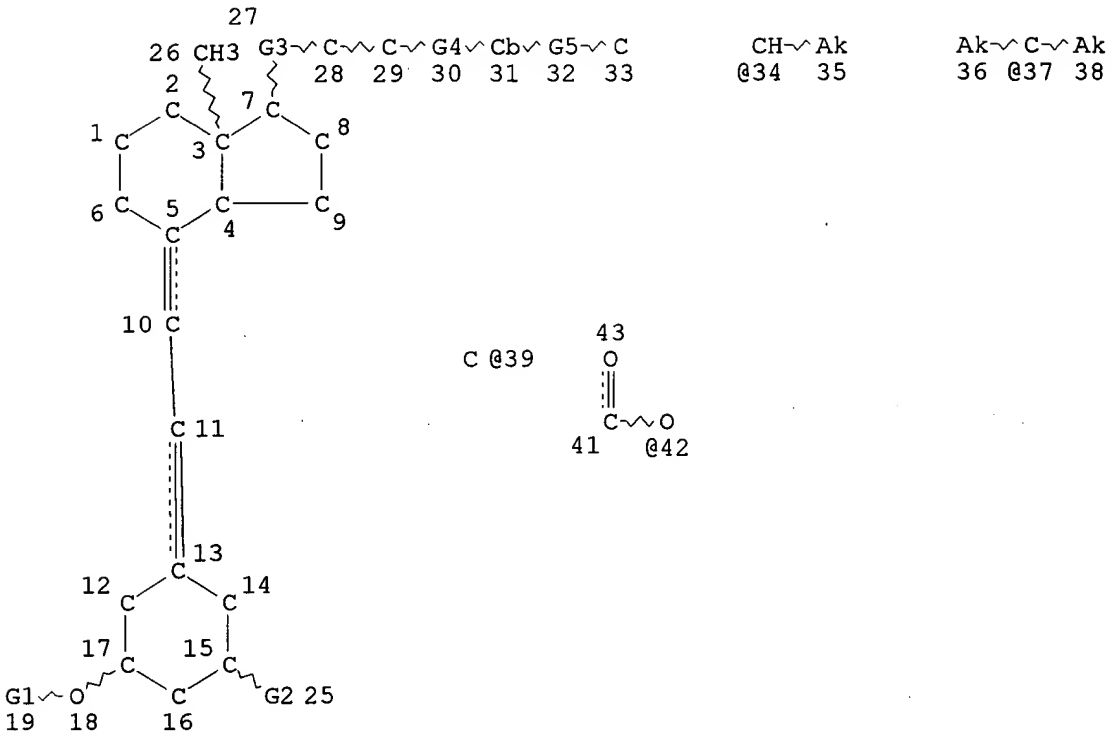
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE
L4 321 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 964 ITERATIONS 321 ANSWERS
SEARCH TIME: 00.00.01

Searcher : Shears 571-272-2528

L12 STR



VAR G1=H/39
VAR G2=H/OH/F/CL/BR/42
VAR G3=CH2/34/37
REP G4=(0-10) C
REP G5=(0-11) C
NODE ATTRIBUTES:
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CONNECT IS X2 RC AT 2
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
CONNECT IS X2 RC AT 9
DEFAULT MLEVEL IS ATOM
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GGCAT IS MCY SAT AT 31
GGCAT IS LOC AT 36
GGCAT IS LOC AT 38
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E3 C AT 31

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

Searcher : Shears 571-272-2528

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L14          34 SEA FILE=MARPAT SSS FUL L12 (MODIFIED ATTRIBUTES)
L15          32 SEA FILE=MARPAT ABB=ON  PLU=ON  L14/COMPLETE



     (FILE 'REGISTRY' ENTERED AT 14:49:55 ON 22 MAR 2006)
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                ACT QAZI5099A/A
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L1              STR
L2   (       964)SEA SSS FUL L1
L3              STR
L4           321 SEA SUB=L2 SSS FUL L3
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     FILE 'REGISTRY' ENTERED AT 14:52:55 ON 22 MAR 2006
                D QUE STAT

     FILE 'CAPLUS' ENTERED AT 14:52:55 ON 22 MAR 2006
L5            25 SEA ABB=ON  PLU=ON  L4
L6             1 SEA ABB=ON  PLU=ON  L5 NOT (PY=>1998 OR PD=>19980929)
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                D IBIB ABS HITSTR

     FILE 'CAOLD' ENTERED AT 14:53:45 ON 22 MAR 2006
L7             0 SEA ABB=ON  PLU=ON  L4

     FILE 'USPATFULL' ENTERED AT 14:53:51 ON 22 MAR 2006
L8            15 SEA ABB=ON  PLU=ON  L4
L9             2 SEA ABB=ON  PLU=ON  L8 NOT (PY=>1998 OR PD=>19980929)
                D 1-2 IBIB ABS
L*** DEL       2 S L8 AND LANGER ?/AU
                D TI AU 1-2

     FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 14:54:55 ON 22 MAR 2006
L10           14 SEA ABB=ON  PLU=ON  L4
L11           14 DUP REM L10 (0 DUPLICATES REMOVED)
                D 1-14 IBIB ABS

     FILE 'MARPAT' ENTERED AT 14:55:12 ON 22 MAR 2006
L12             STR L3
L13            3 SEA SSS SAM L12 (MODIFIED ATTRIBUTES)
L14           34 SEA SSS FUL L12 (MODIFIED ATTRIBUTES)
L15           32 SEA ABB=ON  PLU=ON  L14/COMPLETE
                D QUE STAT
                D 1-32 .BEVMAR1

     FILE 'HOME' ENTERED AT 14:58:01 ON 22 MAR 2006.

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   21 MAR 2006  HIGHEST RN 877591-95-2
     DICTIONARY FILE UPDATES:  21 MAR 2006  HIGHEST RN 877591-95-2

     New CAS Information Use Policies, enter HELP USAGETERMS for details.
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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMI for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS

FILE COVERS 1907 - 22 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 21 Mar 2006 (20060321/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply They are available for your review at:

http://www.cas.org/infopolicy.html

FILE CAOLD
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for

more information.

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 21 Mar 2006 (20060321/PD)
FILE LAST UPDATED: 21 Mar 2006 (20060321/ED)
HIGHEST GRANTED PATENT NUMBER: US7017190
HIGHEST APPLICATION PUBLICATION NUMBER: US2006059596
CA INDEXING IS CURRENT THROUGH 21 Mar 2006 (20060321/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 21 Mar 2006 (20060321/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2006

FILE MEDLINE
FILE LAST UPDATED: 21 MAR 2006 (20060321/UP). FILE COVERS 1950 TO DA

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>).
See also:

http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.ht
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 15 March 2006 (20060315/ED)

FILE EMBASE
FILE COVERS 1974 TO 22 Mar 2006 (20060322/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 144 ISS 12 (20060317/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

Searcher : Shears 571-272-2528

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006035965	16 FEB	2006
DE	102004030305	12 JAN	2006
EP	1614691	11 JAN	2006
JP	2006008639	12 JAN	2006
WO	2006012333	02 FEB	2006
GB	2415429	28 DEC	2005
FR	2873371	27 JAN	2006
RU	2267521	10 JAN	2006
CA	2472818	30 DEC	2005

Expanded G-group definition display now available.

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FILE HOME